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(54) Title: ACRYLONITRILE COMPOUNDS, PROCESS FOR THEIR PRODUCTION AND PESTICIDES CONTAINING THEM

(57) Abstract

Novel compounds useful as active ingredients of pesticides are disclosed. Acrylonitrile compounds of formula (I) or their salts, wherein Q is Qa, Qb, Qc or Qd, Y is $=C(R_4)$ — or $=N_-$, R_1 is alkyl, haloalkyl, etc., each of R_2 and R_3 is halogen, alkyl which may be substituted, alkenyl which may be substituted, etc., R4 is hydrogen, halogen, alkyl or haloalkyl, I is from 1 to 4, m is from 0 to 5, n is from 0 to 3, q is from 0 to 4, when 1 is 2 or more, a plurality of R₂ may be the same or different, when each of m, n and q is 2 or more, a plurality of R₃ may be the same or different.

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DESCRIPTION

ACRYLONITRILE COMPOUNDS, PROCESS FOR THEIR PRODUCTION AND PESTICIDES CONTAINING THEM

The present invention relates to novel acrylonitrile compounds useful as active ingredients for pesticides.

(1) EP 104690A, EP 62238A and U.S. Patent 4,469,688, respectively, disclose compounds similar to the compounds of the present invention, but such compounds and the compounds of the present invention are different in their chemical structures.

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- (2) EP 776879A discloses a process for producing an enol ether which literally covers a part of the compounds of the present invention, but in this publication, there is no specific disclosure at all with respect to the group of compounds of the present invention.
- (3) JP-A-60-11401 and JP-A-60-11452, respectively, disclose α -cyanoketone derivatives which literally cover a part of the compounds of the present invention, but in these publications, there is no specific disclosure at all with respect to the group of compounds of the present invention.

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- (4) U.S. Patent 3,337,565 discloses acrylonitrile derivatives which literally cover a part of the compounds of the present invention, but in this publication, there is no specific disclosure at all with respect to the group of compounds of the present invention.
- (5) U.S. Patent 3,337,566 discloses acrylonitrile derivatives similar to the compounds of the present invention, but such derivatives and the compounds of the present invention are different in their chemical structures.
- (6) WO97/40009 discloses ethylene derivatives similar to the compounds of the present invention, but the derivatives and the compounds of the present invention are different in their chemical structures.
- 15 (7) Bulletin de la Societe Chimique de France, 1980, No. 3-4, p. 163-166, discloses 3-(4-chlorophenyl)-2-phenyl-3-ethoxyacrylonitrile, but this compound and the compounds of the present invention are different in their chemical structures.
- 20 (8) Journal of Chemical Research (Synopses), 1987, p. 78-79, discloses 2-(3,5-dimethoxyphenyl)-3-(2-methoxy-4-methylphenyl)-3-acetoxyacrylonitrile and 2-(3,5-dimethoxyphenyl)-3-(2,6-dimethoxy-4-methylphenyl)-3-acetoxyacrylonitrile, but these compounds and the compounds of the present invention are different in their chemical structures.

The present inventors have conducted various studies

to find out an excellent pesticide, paying an attention to acrylonitrile compounds and, as a result, have accomplished the present invention.

Namely, the present invention provides an acrylonitrile compound of the following formula (I) or its salt:

$$Q - C \longrightarrow C \bigcirc R_1$$

$$C N \bigcirc (R_2)_1$$

$$(1)$$

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wherein Q is

$$Qa \qquad Qb \qquad Qc \qquad Qd$$

$$(R_3)_m \qquad (R_3)_m \qquad (R_3$$

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Y is $=C(R_4)-$ or =N-, R_1 is alkyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, $-C(=O)R_5$, $-C(=S)R_5$, $-S(O)_wR_5$ or $-CH_2R_9$, each of R_2 and R_3 is halogen, alkyl which may be substituted, alkenyl which may be substituted, alkenyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, alkylthio which may be substituted, alkylsulfinyl which may be substituted, alkylsulfonyl which may be substituted, alkenylthio which may be substituted, alkenylsulfinyl which may be substituted, alkenylsulfonyl which may be substituted, alkenylsulfonyl which may be substituted, alkynylthio

which may be substituted, alkynylsulfinyl which may be substituted, alkynylsulfonyl which may be substituted, nitro, cyano, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, phenylsulfinyl which may be substituted, phenylsulfonyl which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, or benzoyl which may be substituted, R4 is hydrogen, halogen, alkyl or haloalkyl, R₅ is alkyl which may be substituted, alkenyl 10 which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, alkylthio which may be substituted, alkenylthio which may be substituted, alkynylthio which 15 may be substituted, cycloalkyl, cycloalkyloxy, cycloalkylthio, -N(R7)R8, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, 20 benzylthio which may be substituted, -J, -O-J or -S-J, each of R_7 and R_8 is hydrogen, alkyl or alkoxy, R_9 is cyano, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, phenylsulfinyl which may be substituted, phenylsulfonyl 25 which may be substituted, benzyl which may be

substituted, benzyloxy which may be substituted,

benzylthio which may be substituted, benzoyl which may be substituted, -J, -C(=0) R_{10} , -C(=S) R_{10} , -S(0) $_{\rm w}R_{10}$ or trimethylsilyl, R₁₀ is alkyl or alkoxy, J is a 5- or 6-membered heterocyclic group containing from 1 to 4 hetero atoms of at least one type selected from the group consisting of O, S and N (the heterocyclic group may be substituted), 1 is from 1 to 4, m is from 0 to 5, n is from 0 to 3, q is from 0 to 4, w is from 0 to 2, when 1 is 2 or more, a plurality of R2 may be the same or different, when each of m, n and q is 2 or more, a 10 plurality of R₃ may be the same or different, provided that the following compounds are excluded (1) a compound wherein Q is Qb, Y is $=C(R_4)-$, and R_1 is alkyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, -S(O)_uR₅ or -CH₂R₉, 15 (2) a compound wherein Q is Qb, Y is $=C(R_4)-$, R_1 is $-C(=0)R_5$, and R_5 is alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be 20 substituted, cycloalkyl, cycloalkyloxy, -N(R7)R8, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, -J, 25 -O-J or -S-J, (3) a compound wherein Q is Qb, Y is $=C(R_4)-$, R_1 is $-C(=S)R_5$, and R_5 is $-N(R_7)R_8$, (4) a

compound wherein Q is Qb or Qc, Y is =N-, R₁ is alkyl or -C(=0)R₅, and R₅ is alkyl, (5) 3-(4-chlorophenyl)-2-phenyl-3-ethoxyacrylonitrile, (6) 2-(3,5-dimethoxyphenyl)-3-(2-methoxy-4-methylphenyl)-3-acetoxyacrylonitrile, and (7) 2-(3,5-dimethoxyphenyl)-3-(2,6-dimethoxy-4-methylphenyl)-3-acetoxyacrylonitrile; and a process for its production, a pesticide containing it, and a novel intermediate compound useful for its production.

10 In the formula (I), the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the alkoxy which may be substituted, the alkenyloxy which may be substituted, the alkynyloxy which may be substituted, the alkylthio which may be substituted, the alkylsulfinyl 15 which may be substituted, the alkylsulfonyl which may be substituted, the alkenylthio which may be substituted, the alkenylsulfinyl which may be substituted, the alkenylsulfonyl which may be substituted, the alkynylthio 20 which may be substituted, the alkynylsulfinyl which may be substituted and the alkynylsulfonyl which may be substituted, for each of R₂ and R₃, or the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the alkoxy which may be substituted, the alkenyloxy which 25 may be substituted, the alkynyloxy which may be substituted, the alkylthio which may be substituted, the

alkenylthio which may be substituted and the alkynylthio which may be substituted, for R_5 , may, for example, be halogen, alkoxy, haloalkoxy, alkoxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, haloalkylthio, haloalkylsulfinyl, haloalkylsulfonyl, amino, monoalkylamino, dialkylamino, nitro or cyano. The number of substituents may be one or more, and when it is more then one, a plurality of substituents may be the same or different.

Further, the substituent for the phenyl which may be 10 substituted, the phenoxy which may be substituted, the phenylthio which may be substituted, the phenylsulfinyl which may be substituted, the phenylsulfonyl which may be substituted, the benzyl which may be substituted, the benzyloxy which may be substituted, the benzylthio which 15 may be substituted or the benzoyl which may be substituted, for each of R2 and R3, the substituent for the phenyl which may be substituted, the phenoxy which may be substituted, the phenylthio which may be substituted, the benzyl which may be substituted, the 20 benzyloxy which may be substituted or the benzylthio which may be substituted, for R5, the substituent for the phenyl which may be substituted, the phenoxy which may be substituted, the phenylthio which may be substituted, the 25 phenylsulfinyl which may be substituted, the phenylsulfonyl which may be substituted, the benzyl which may be substituted, the benzyloxy which may be

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substituted, the benzylthio which may be substituted or the benzoyl which may be substituted, for R_9 , or the substituent for the heterocyclic ring for J, may, for example, be halogen, alkyl, haloalkyl, alkoxy,

- haloalkoxy, nitro, cyano, $-S(O)_w R_6$, amino, monoalkylamino or dialkylamino. The number of substituents may be one or more, and when it is more than one, a plurality of substituents may be the same or different. Here, R_6 is alkyl or haloalkyl, and w is from 0 to 2.
- The heterocyclic group for J may, for example, be furyl, thienyl, pyrrolyl, pyrazolyl, imdazolyl, triazolyl, tetrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, l-pyrrolidinyl, l-piperidinyl or 4-morpholino.
- 15 Preferred compounds among the acrylonitrile compounds of the formula (I) or their salts, are as follows.
 - (a) The acrylonitrile compound or its salt, wherein Q is Qa, Qb or Qc, and each of R₂ and R₃ is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, cyano, phenyl which may be

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- substituted by M_1 , or phenoxy which may be substituted by M_1 , R_5 is alkyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkenyl, haloalkenyl, haloalkynyl, haloalkynyl, alkoxy,
- 25 haloalkoxy, alkylthio, haloalkylthio, alkoxycarbonylalkylthio, alkenylthio, haloalkenylthio, alkynylthio, haloalkynylthio, cycloalkyl, cycloalkylthio,

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 $-N(R_7)R_8$, phenyl which may be substituted by M_1 , phenoxy which may be substituted by M1, phenylthio which may be substituted by M1, benzyl which may be substituted by M1, benzylthio which may be substituted by M1, pyridyl which may be substituted by M1, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholino, pyridyloxy which may be substituted by M,, or pyridylthio which may be substituted by M1, R9 is cyano, phenyl which may be substituted by M1, benzyloxy which may be substituted by M1, benzoyl which may be substituted by M1, pyridyl which may be substituted by M_1 , -C(=0) R_{10} , -S(0) $_{\rm w}R_{10}$ or trimethylsilyl, M_1 is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano, -S(O) R₆, amino, monoalkylamino or dialkylamino, and R₆ is alkyl or haloalkyl. The number of substituents M_1 may be one or more, and if it is more than one, a plurality of M_1 may be the same or different.

(b) The acrylonitrile compound or its salt, wherein Q is Qa, Qb or Qc, each of R₂ and R₃ is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, alkylsulfinyl, 20 alkylsulfonyl, nitro, cyano, phenyl which may be substituted by M₂, or phenoxy which may be substituted by M₂, R₅ is alkyl, haloalkyl, alkoxyalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkoxycarbonylalkylthio, alkenylthio, haloalkenylthio, alkynylthio, haloalkynylthio, cycloalkyl, cycloalkylthio, -N(R₇)R₈, phenyl which may be substituted by M₂, phenoxy which may

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be substituted by M_2 , phenylthio which may be substituted by M_2 , benzyl which may be substituted by M_2 , benzylthio which may be substituted by M_2 , pyridyl which may be substituted by M_2 , l-pyrrolidinyl, l-piperidinyl or 4-morpholino, each of R_7 and R_8 is hydrogen or alkyl, R_9 is cyano, phenyl which may be substituted by M_2 , benzyloxy which may be substituted by M_2 , benzoyl which may be substituted by M_2 , pyridyl which may be substituted by M_2 , M_2 , M_2 , M_3 , M_4 is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano or M_2 , and M_3 is alkyl. The number of substituents M_2 may be one or more, and when it is more than one, a plurality of M_2 may be the same or different.

- (c) The acrylonitrile compound or its salt according to the above (b), wherein Q is Qa or Qb.
 - (d) The acrylonitrile compound or its salt according to the above (c), wherein Q is Qa.
- (e) The acrylonitrile compound of the above formula (I) or its salt, wherein Q is Qa or Qb, Y is $=C(R_4)-$, and R_4 is hydrogen.
 - (f) The acrylonitrile compound or its salt according to the above (e), wherein Q is Qa.
 - (g) The acrylonitrile compound or its salt according to the above (e) or (f), wherein R_2 is halogen, alkyl or haloalkyl, and l is from 1 to 3.
 - (h) The acrylonitrile compound or its salt according to the above (e) or (f), wherein R, is alkoxyalkyl,

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-C(=O)R₅, -C(=S)R₅, -S(O)_wR₅ or -CH₂R₉, R₂ is halogen, alkyl or haloalkyl, R₃ is halogen or alkyl, R₅ is alkyl, haloalkyl, alkoxyalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkoxycarbonylalkylthio, alkenylthio, -N(R₇)R₈, phenyl which may be substituted by M₃, phenoxy which may be substituted by M₃, phenylthio which may be substituted by M₃, pyridyl which may be substituted by M₃, l-pyrrolidinyl or 4-morpholino, each of R₇ and R₈ is hydrogen or alkyl, R₉ is phenyl, M₃ is halogen, alkyl or alkoxy, 1 is from 1 to 3, m is from 0 to 3, n is from 0 to 1, and w is from 1 to 2. The number of substituents M₃ may be one or more, and when it is more than one, a plurality of M₃ may be the same or different.

(i) The acrylonitrile compound of the formula (I) or its salt, wherein the formula (I) is the formula (I-1):

$$Q - C \longrightarrow C$$

$$C N \longrightarrow (R_{2})$$

$$(I-1)$$

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wherein Q is Qa or Qb, R_{2a} is haloalkyl, R_{2b} is halogen, alkyl or haloalkyl, d is from 0 to 2, m is from 0 to 3, and n is from 0 to 1. When d is 2, two R_{2b} may be the same or different.

- 25 (j) The acrylonitrile compound or its salt according to the above (i), wherein Q is Qa.
 - (k) The acrylonitrile compound or its salt according

to the above (i), wherein d is 0.

to 1, and w is from 1 to 2.

- (1) The acrylonitrile compound or its salt according to the above (j), wherein d is 0.
- (m) The acrylonitrile compound or its salt according to the above (i), (j), (k) or (l), wherein R_1 is 5 alkoxyalkyl, $-C(=0)R_5$, $-C(=S)R_5$, $-S(0)_{\nu}R_5$ or $-CH_2R_q$, R_2 is halogen, alkyl or haloalkyl, R3 is halogen or alkyl, R5 is alkyl, haloalkyl, alkoxyalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkoxycarbonylalkylthio, alkenylthio, $-N(R_7)R_8$, phenyl which may be substituted by 10 M3, phenoxy which may be substituted by M3, phenylthio which may be substituted by M3, benzyl which may be substituted by M3, pyridyl which may be substituted by M_3 , l-pyrrolidinyl or 4-morpholino, each of R_7 and R_8 is hydrogen or alkyl, R_9 is phenyl, M_3 is halogen, alkyl or 15 alkoxy, 1 is from 1 to 3, m is from 0 to 3, n is from 0

In the compounds of the formula (I) or (a) to (m), the alkyl or alkyl moiety contained in R₁, R₂, R_{2a}, R_{2b}, R₃, R₄, R₅, R₆, R₇, R₈, R₁₀, M₁, M₂ or M₃, may, for example, be straight chain or branched one having from 1 to 6 carbon atoms such as methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, pentyl or hexyl. The alkenyl, alkynyl, alkenyl moiety or alkynyl moiety contained in R₁, R₂, R₃ or R₅, may, for example, be straight chain or branched one having from 2 to 6 carbon atoms, such as vinyl, allyl, butadienyl, isopropenyl,

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ethynyl, propinyl or 2-penten-4-enyl. The cycloalkyl or cycloalkyl moiety contained in R_5 , may, for example, be one having from 3 to 6 carbon atoms, such as cyclopropyl, cyclopentyl or cyclohexyl.

In the compounds of the formula (I) or (a) to (m), the halogen contained in R₁, R₂, R_{2a}, R_{2b}, R₃, R₄, R₆,M₁, M₂ or M₃, or the halogen as a substituent, may be fluorine, chlorine, bromine or iodine. The number of halogens as substituents may be one or more, and when it is more than one, a plurality of halogens may be the same or different.

The acrylonitrile compound of the formula (I) is capable of forming a salt. Such a salt may be any salt so long as it is acceptable for agriculture. For example, it may be an inorganic salt such as a hydrochloride, a sulfate or a nitrate, or an organic salt such as an acetate or a methanesulfonate.

The acrylonitrile compound of the formula (I) may have geometrical isomers (E-isomer and Z-isomer). The present invention includes such isomers and their mixtures.

The acrylonitrile compound of the formula (I) or its salt (hereinafter referred to simply as the compound of the present invention) can be produced, for example, by reactions (A) to (C) and by a usual process for producing a salt.

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(A)

$$Q - C \longrightarrow C \longrightarrow C \longrightarrow C \longrightarrow (11)$$

$$C N \longrightarrow (12)$$

$$(11)$$

Now, the reaction (A) will be described.

In the reaction (A), Q, Y, R_1 , R_2 , 1 and the formula (I) are as defined above, and X is halogen.

The reaction (A) is carried out usually in the

presence of a base. As such a base, one or more may suitably be selected for use from e.g. alkali metals such as sodium and potassium; alkali metal alcoholates such as potassium tertiary butoxide; carbonates such as potassium carbonate and sodium carbonate; bicarbonates such as potassium bicarbonate and sodium bicarbonate; metal hydroxides such as potassium hydroxide and sodium hydroxide; metal hydrides such as potassium hydroxide and sodium hydride; and tertiary amines such a trimethylamine, triethylamine, pyridine and 4
dimethylaminopyridine.

The reaction (A) may be carried out, if necessary, in the presence of a solvent. Such a solvent may be any solvent so long as it is inert to the reaction. For example, one or more may be suitably selected for use from e.g. aromatic hydrocarbons such as benzene, toluene, xylene and chlorobenzene; cyclic or non-cyclic aliphatic hydrocarbons such as carbon tetrachloride, methyl

chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane and cyclohexane; ethers such as dioxane, tetrahydrofuran and diethyl ether; esters such as methyl acetate and ethyl acetate; dipolar aprotic solvents such as dimethylsulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone and pyridine; nitriles such as acetonitrile, propionitrile and acrylonitrile; ketones such as acetone and methyl ethyl ketone; tertiary amines such as trimethylamine and triethylamine; and water.

For the reaction (A), a catalyst such as 4-dimethylaminopyridine may be used, as the case requires.

The reaction temperature for the reaction (A) is usually from -80 to +150°C, preferably from -50 to +120°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours.

In a case where in the formula (I), R_1 is methyl:

(B)

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20 (II) + Diazomethane
$$Q-C = C$$
 CN
 $(I-2)$

The reaction (B) will be described in detail. In the reaction (B), Q, Y, R_2 , 1 and the formula (II) are as defined above.

The reaction (B) is carried out usually in the

presence of a solvent. As such a solvent, one or more may suitably be selected for use from e.g. aromatic hydrocarbons such as benzene, toluene, xylene and chlorobenzene; cyclic or non-cyclic aliphatic

hydrocarbons such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane and cyclohexane; ethers such as dioxane, tetrahydrofuran and diethyl ether; esters such as methyl acetate and ethyl acetate; nitriles such as acetonitrile, propionitrile and acrylonitrile; and ketones such as acetone and methyl ethyl ketone.

The reaction temperature for the reaction (B) is usually from 0 to 100°C, preferably from 0 to 50°C, and the reaction time is usually from 0.1 to 24 hours, preferably from 0.1 to 12 hours.

In a case where in the formula (I), R_1 is $-C(=0)R_5$, $-C(=S)R_5$ or $-S(O)_{\psi}R_5$:

(C)

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(11) + C1-T-C1
$$\xrightarrow{\text{First step}}$$
 Q-C $\xrightarrow{\text{CN}}$ CN (V)

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$$(V) + G - R_s$$
 Second step $Q - C = C$ (VI) (VI) $(I-3)$

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The reaction (C) will be described. In the reaction (C), Q, Y, R_2 , R_5 , l and the formula (II) are as defined above, T is -C(=0)-, -C(=S)- or $-S(0)_w-$, G is hydrogen, Li, MgBr, MgCl or MgI, and R_{1a} is $-C(=0)R_5$, $-C(=S)R_5$ or $-S(0)_wR_5$ (wherein R_5 and w are as defined above).

The first step in the reaction (C) is carried out, if necessary, in the presence of a base. As such a base, one or more may suitably be selected for use from e.g. tertiary amines such as trimethylamine, triethylamine, pyridine and 4-dimethylaminopyridine.

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The first step of the reaction (C) is carried out usually in the presence of a solvent. Such a solvent may be any solvent so long as it is inert to the reaction. For example, one or more may suitably selected for use from e.g. aromatic hydrocarbons such as benzene, toluene, xylene and chlorobenzene; cyclic or non-cyclic aliphatic hydrocarbons such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane and cyclohexane; ethers such as dioxane, tetrahydrofuran and diethyl ether; and esters such as methyl acetate and ethyl acetate.

The reaction temperature for the first step of the reaction (C) is usually from -80 to +150°C, preferably from -50 to +80°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours. The compound of the formula (V) prepared by the first step of the reaction (C) is a novel intermediate compound useful

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in the present invention.

The second step of the reaction (C) is carried out, if necessary, in the presence of a base. As such a base, one or more may suitably be selected for use from e.g. carbonates such as potassium carbonate and sodium carbonate; and tertiary amines such as trimethylamine, triethylamine, pyridine and 4-dimethylaminopyridine.

The second step of the reaction (C) is carried out usually in the presence of a solvent. Such a solvent may be any solvent so long as it is inert to the reaction. For example, one or more may suitably be selected for use from e.g. aromatic hydrocarbons such as benzene, toluene, xylene and chlorobenzene; cyclic or non-cyclic aliphatic hydrocarbons such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane and cyclohexane; ethers such as dioxane, tetrahydrofuran and diethyl ether; esters such as methyl acetate and ethyl acetate; nitriles such as acetonitrile, propionitrile and acrylonitrile; and ketones such as acetone and methyl ethyl ketone.

The reaction temperature for the second step of the reaction (C) is usually from -80 to +150°C, preferably from -80 to +80°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours.

25 The compounds of the formula (II) in the above reactions (A) to (C) are intermediate compounds useful for producing the compounds of the present invention, and

novel compounds are included therein.

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The compound of the formula (II) may form a salt. Such a salt may be any salt so long as it is agriculturally acceptable. For example, it may be an inorganic salt such as a hydrochloride, a sulfate or a nitrate; an organic salt such as an acetate or a methane sulfonate, an alkali metal salt such as a sodium salt or a potassium salt; an alkaline earth metal salt such as a magnesium salt or a calcium salt; or a quaternary ammonium salt such as dimethylammonium or triethylammonium.

The compound of the formula (II) has geometrical isomers (E-isomer and Z-isomer). The present invention includes such isomers and mixtures thereof. The compound of the formula (II) may also be present in the form of tautomers represented by the following formula:

$$Q - C \longrightarrow C \longrightarrow Q - C \longrightarrow Q - C \longrightarrow C$$

$$C \longrightarrow Q - C \longrightarrow C$$

$$C \longrightarrow Q - C \longrightarrow C$$

$$C \longrightarrow Q \longrightarrow C$$

$$C \longrightarrow Q \longrightarrow C$$

$$C \longrightarrow$$

The present invention includes such tautomers and mixtures thereof.

Further, the compounds of the formula (II) include those which exhibit pesticidal activities.

25 The compound of the formula (II) or its salt may be prepared e.g. by reactions (D) to (F), or by a conventional method for producing a salt.

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(D)

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$$Q - CH_2CN + (R_2)_1$$

$$(VII) \qquad (VIII)$$

The reaction (D) will be described. In the reaction (D), Q, Y, R_2 , 1 and the formula (II) are as defined above, and Z_1 is alkoxy.

The reaction (D) is carried out usually in the presence of a base and a solvent. As such a base, one or more may suitably be selected for use from e.g. alkali metals such as sodium and potassium; alkali metal alcoholates such as sodium methylate, sodium ethylate and potassium tertiary butoxide; metal hydrides such as potassium hydride and sodium hydride; and organic lithium such as methyllithium, butyllithium, tert-butyllithium and phenyllithium. As the solvent, one or more may suitably selected for use from e.g. aromatic hydrocarbons such as benzene, toluene, xylene and chlorobenene; ethers such as dioxane, tetrahydrofuran and diethyl ether; and alcohols such as methanol, ethanol, propanol and tert-butanol.

The reaction temperature for the reaction (D) is usually from -80 to +150°C, preferably from -50 to +120°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours.

In a case where in the formula (II), Q is Qa:

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The reaction (E) will be described as follows. In the reaction (E), Qa, Y, R_2 and 1 are as defined above, and Z_2 is halogen.

The first step of the reaction (E) is carried out usually in the presence of a base. As such a base, one or more may suitably be selected for use from e.g. alkali metals such as sodium and potassium; alkali metal alcoholates such as sodium methylate, sodium ethylate and potassium tertiary butoxide; carbonates such as potassium carbonate and sodium carbonate; bicarbonates such as potassium bicarbonate and sodium bicarbonate; metal hydroxides such as potassium hydroxide and sodium hydroxide, metal hydrides such as potassium hydride and sodium hydride; amines such as monomethylamine,

dimethylamine and trimethylamine; and pyridines such as pyridine and 4-dimethylaminopyridine.

The first step of the reaction (E) is carried out, if necessary, in the presence of a solvent. Such a solvent may be any solvent so long as it is inert to the reaction. For example, one or more may suitably be selected for use from e.g. aromatic hydrocarbons such as benzene, toluene, xylene and chlorobenene; cyclic or noncyclic aliphatic hydrocarbons such as carbon tetrachloride, methyl chloride, chloroform, 10 dichloromethane, dichloroethane, trichloroethane, hexane and cyclohexane; ethers such as dioxane, tetrahydrofuran and diethyl ether; esters such as methyl acetate and ethyl acetate; dipolar aprotic solvents such as dimethylsulfoxide, sulfolane, dimethylacetamide, 15 dimethylformamide, N-methylpyrrolidone and pyridine; ketones such as acetone and methyl ethyl ketone; amines such as monomethylamine, dimethylamine and triethylamine; and water.

For the first step of the reaction (E), a catalyst such as 4-dimethylaminopyridine may be used, as the case requires.

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The reaction temperature for the first step of the reaction (E) is usually from -80 to +150°C, preferably from -50 to +120°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours.

The compound of the formula (X) prepared by the first

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step of the reaction (E) is a novel intermediate compound useful in the present invention and at the same time includes a compound of the present invention.

Accordingly, the compound of the present invention can be prepared also by the first step of the reaction (E).

The second step of the reaction (E) is a hydrolysis reaction which is carried out usually in the presence of a base or an acid. As the base, one or more may suitably be selected for use from e.g. carbonates such as 10 potassium carbonate and sodium carbonate; metal hydroxides such as potassium hydroxide and sodium hydroxide; and amines such as monomethylamine, dimethylamine and triethylamine. As the acid, one or more may suitably be selected for use from e.g. inorganic acids such as hydrochloric acid and sulfuric acid; and organic acids such as acetic acid.

The second step of the reaction (E) is carried out, if necessary, in the presence of a solvent. Such a solvent may be any solvent so long as it is inert to the reaction. For example, one or more may suitably be selected for use from e.g. nitriles such as acetonitrile, propionitrile and acrylonitrile; alcohols such a methanol, ethanol, propanol and tert-butanol; organic acids such as acetic acid and propionic acid; aqueous ammonia; and water.

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The reaction temperature for the second step of the reaction (E) is usually from 0 to 100°C, preferably from

0 to 50°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours.

In a case where in the formula (II), Q is Qc:
(F)

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$$Q_{C}-CH_{2}CN + (IX) \longrightarrow Q_{C}-C \longrightarrow C$$

$$(VII-2)$$

$$Q_{C}-C \longrightarrow C$$

$$CN$$

$$(R_{2})_{1}$$

The reaction (F) will be described as follows. In the reaction (F), Qc, Y, R₂, l and the formula (IX) are as defined above.

The reaction (F) is carried out in accordance with the first step of the reaction (E).

Among compounds of the formula (II) thus prepared, the following compounds are particularly useful and novel.

Compounds of the formula (II-1) or their salts:

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$$Q - C = C$$

$$C N$$

$$R_{2}$$

$$(11-1)$$

wherein Q, R_{2a} , R_{2b} and d are as defined above, provided that when Q is Qc, (1) q is not 0, or (2) R_3 is not alkyl.

Particularly preferred compounds among the compounds of the formula (II-1) are as follows.

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(n) A compound of the above formula (II-1) wherein Q is Qa or Qb, or its salts.

- (o) A compound of the above formula (II-1) wherein Q is Qa, or its salt.
- (p) A compound of the above formula (II-1), (n) or(o) wherein d is 0, or its salt.

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The compounds of the present invention are useful as active ingredients for pesticides. They are particularly useful as active ingredients of pesticides such as an insecticide, a miticide, a nematicide, a soil pesticide, a fungicide and a marine antifouling agent.

Preferred embodiments of pesticides containing the compounds of the present invention will now be described. Firstly, pesticides such as an insecticide, a miticide, a nematicide, a soil pesticide and a fungicide, will be described.

The pesticides containing the compounds of the present invention are useful as an insecticide, a miticide, a nematicide and a soil pesticide (hereinafter referred to as insect pest control agents), and they are effective for controlling plant parasitic mites such as two-spotted spider mite (Tetranychus urticae), carmine spider mite (Tetranychus cinnabarinus), kanzawa spider mite (Tetranychus kanzawai), citrus red mite (Panonychus citri), European red mite (Panonychus ulmi), broad mite (Polyphagotarsonemus latus), pink citrus rust mite (Aculops pelekassi) and bulb mite (Rhizoglyphus

echinopus); animal parasitic mites such as Ixodes; aphids such as green peach aphid (Myzus persicae) and cotton aphid (Aphis gossypii); agricultural insect pests such as diamondback moth (Plutella xylostella), cabbage armyworm (Mamestra brassicae), common cutworm (Spodoptera litura), 5 codling moth (Laspeyresia pomonella), bollworm (Heliothis zea), tobacco budworm (Heliothis virescens), gypsy moth (Lymantria dispar), rice leafroller (Cnaphalocrocis medinalis), Adoxophyes sp., colorado potato beetle 10 (Leptinotarsa decemlineata), cucurbit leaf beetle (Aulacophora femoralis), boll weevil (Anthonomus grandis), planthoppers, leafhoppers (Circulifer sp.), scales, bugs, whiteflies, thrips, grasshoppers, anthomyiid flies, scarabs, black cutworm (Agrotis ipsilon), cutworm (Agrotis segetum) and ants; plant 15 parasitic nematodes such as root-knot nematodes, cyst nematodes, root-lesion nematodes, rice white-tip nematode (Aphelenchoides besseyi), strawberry bud nematode (Nothotylenchus acris), pine wood nematode 20 (Bursaphelenchus lignicolus); gastropods such as slugs and snails; soil pests such as isopods such as pillbugs (Armadilidium vulgare) and pillbugs (Porcellio scaber); hygienic insect pests such as tropical rat mite (Ornithonyssus bacoti), cockroachs, housefly (Musca 25 domestica) and house mosquto (Culex pipiens); stored grain insect pests such as angoumois grai moth (Sitotroga cerealella), adzuki bean weevil (Callosobruchus

chinensis), red flour beetle (Tribolium castaneum) and mealworms; household goods insect pests such as casemaking clothes moth (Tinea pellionella), black carpet beetle (Anthrenus scrophularidae) and subterranean termites; domestic mites such as mold mite (Tyrophagus 5 putrescentiae), Dermatophagoides farinae and Chelacaropsis moorei; and others such as fleas, lice and flies, which are parasitic to e.g. domestic animals. Among them, the insect pest control agents containing the compounds of the present invention are particularly 10 effective for controlling plant parasitic mites, animal parasitic mites, agricultural insect pests, hygienic insect pests, household goods insect pests, domestic mites or the like. Further, they are effective against insect pests having acquired resistance to 15 organophosphorus, carbamate and/or synthetic pyrethroid insecticides. Moreover, the compounds of the present invention have excellent systemic properties, and by the application of the compounds of the present invention to solid treatment, not only noxious insects, noxious mites, 20 noxious nematodes, noxious gastropods and noxious isopods in soil but also foliage pests can be controlled.

Further, the pesticides containing compounds of the present invention are useful as fungicides. For example, they are effective for controlling diseases, such as blast (<u>Pyricularia oryzae</u>), sheath blight (<u>Rhizoctonia solani</u>) and brown spot (<u>Cochliobolus miyabeanus</u>) against

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rice; powdery mildew (Erysiphe graminis), scab (Gibberella zeae), rust (Puccinia striiformis, P. coronata, P. graminis, P. recondita, P. hordei), snow blight (Typhula sp., Micronectriella nivalis), loose smut (<u>Ustilago tritici</u>, <u>U</u>. <u>nuda</u>), eye spot (Pseudocercosporella herpotrichoides), leaf blotch (Septoria tritici) and glume blotch (Leptosphaeria nodorum) against cereals; melanose (Diaporthe citri) and scab (Elsinoe fawcetti) against citrus; blossom blight (Sclerotinia mali), powdery mildew (Podosphaera 10 leucotricha), alternaria blotch (Alternaria mali) and scab (Venturia inaequalis) against apples; scab (Venturia nashicola) and black spot (Alternaria kikuchiana) against pears; brown rot (Monilinia fructicola), scab (Cladosporium carpophilum) and phomopsis rot (Phomopsis 15 sp.) against peaches; anthracnose (Elsinoe ampelina) ripe rot (Glomerella cinqulata), powdery mildew (Uncinula necator) and downy mildew (Plasmopara viticola) against grapes; anthracnose (Gloeosporium kaki) and angular leaf spot (Cercospora kaki) against Japanese persimon; 20 anthracnose (Colletotrichum lagenarium), powdery mildew (Sphaerotheca fuliginia), gummy stem blight (Mycosphacrella melonis) and downy mildew (Pseudopernospora cubensis) against cucurbits; early blight (Alternaria solani), leaf mold (Cladosporium 25 fulvum) and late blight (Phytophthora infestans) against tomatoes; alternaria leaf spot (Alternaria brassicae)

against crucifer; early blight (Alternaria solani) and late blight (Phytophthora infestans) against potatoes; powdery mildew (Sphaerotheca humuli) against strawberry; gray mold (Botrytis cinerea) and sclerotinial rot (Sclerotinia sclerotiorum) against various crop plants. Further, they are effective also for controlling soil diseases brought about by plant pathogenic fungi such as Fusarium sp., Pythium sp., Rhizoctonia sp., Verticillium sp., and Plasmodiophora sp.

Another preferred embodiments of the pesticides containing compounds of the present invention may be agricultural and horticultural pesticides which collectively control the above-mentioned plant parasitic mites, agricultural insect pests, plant parasitic nematodes, gastropods, soil pests, various diseases and various soil diseases.

The pesticide such as the insect pests control agent or the fungicide containing the compound of the present invention, is usually formulated by mixing the compound with various agricultural adjuvants and used in the form of a formulation such as a dust, granules, waterdispersible granules, a wettable powder, a water-based suspension concentrate, an oil-based suspension concentrate, water soluble granules, an emulsifiable concentrate, a paste, an aerosol or an ultra low-volume formulation. However, so long as it is suitable for the purpose of the present invention, it may be formulated

into any type of formulation which is commonly used in this field. Such agricultural adjuvants include solid carriers such as diatomaceous earth, slaked lime, calcium carbonate, talc, white carbon, kaoline, bentonite, a mixture of kaolinite and sericite, clay, sodium carbonate, sodium bicarbonate, mirabilite, zeolite and starch; solvents such as water, toluene, xylene, solvent naphtha, dioxane, acetone, isophorone, methyl isobutyl ketone, chlorobenzene, cyclohexane, dimethylsulfoxide, dimethylformamide, dimethylacetamide, N-methyl-2-10 pyrrolidone, and alcohol; anionic surfactants and spreaders such as a salt of fatty acid, a benzoate, an alkylsulfosuccinate, a dialkylsulfosuccinate, a polycarboxylate, a salt of alkylsulfuric acid ester, an alkyl sulfate, an alkylaryl sulfate, an alkyl diglycol 15 ether sulfate, a salt of alcohol sulfuric acid ester, an alkyl sulfonate, an alkylaryl sulfonate, an aryl sulfonate, a lignin sulfonate, an alkyldiphenyl ether disulfonate, a polystyrene sulfonate, a salt of alkylphosphoric acid ester, an alkylaryl phosphate, a 20 styrylaryl phosphate, a salt of polyoxyethylene alkyl ether sulfuric acid ester, a polyoxyethylene alkylaryl ether sulfate, a salt of polyoxyethylene alkylaryl ether sulfuric acid ester, a polyoxyethylene alkyl ether phosphate, a salt of polyoxyethylene alkylaryl phosphoric 25 acid ester, and a salt of a condensate of naphthalene sulfonate with formalin; nonionic surfactants and

spreaders such as a sorbitan fatty acid ester, a glycerin fatty acid ester, a fatty acid polyglyceride, a fatty acid alcohol polyglycol ether, acetylene glycol, acetylene alcohol, an oxyalkylene block polymer, a polyoxyethylene alkyl ether, a polyoxyethylene alkylaryl ether, a polyoxyethylene styrylaryl ether, a polyoxyethylene glycol alkyl ether, a polyoxyethylene fatty acid ester, a polyoxyethylene sorbitan fatty acid ester, a polyoxyethylene glycerin fatty acid ester, a polyoxyethylene hydrogenated castor oil, and a 10 polyoxypropylene fatty acid ester; and vegetable and mineral oils such as olive oil, kapok oil, castor oil, palm oil, camellia oil, coconut oil, sesame oil, corn oil, rice bran oil, peanut oil, cottonseed oil, soybean oil, rapeseed oil, linseed oil, tung oil, and liquid 15 paraffins. Such adjuvants may be selected for use among those known in this field, so long as the purpose of the present invention can thereby be accomplished. Further, various additives which are commonly used, such as a filler, a thickener, an anti-settling agent, an anti-20 freezing agent, a dispersion stabilizer, a phytotoxicity reducing agent, and an anti-mold agent, may also be employed.

The weight ratio of the compound of the present invention to the various agricultural adjuvants is usually from 0.001:99.999 to 95:5, preferably from 0.005:99.995 to 90:10.

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In the actual application of such a formulation, it may be used as it is, or may be diluted to a predetermined concentration with a diluent such as water, and various extenders may be added thereto, as the case requires.

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The application of the pesticide such as the insect pest control agent or the fungicide containing the compound of the present invention can not generally be defined, as it varies depending upon the weather conditions, the type of the formulation, the application 10 season, the application site or the types or degree of outbreak of the pest insects. However, it is usually applied in a concentration of the active ingredient being from 0.05 to 800,000 ppm, preferably from 0.5 to 500,000 ppm, and the dose per unit area is such that the compound 15 of the present invention is from 0.05 to 10,000 g, preferably from 1 to 5,000 g, per hectare. application of the insect pest control agent as a preferred embodiment of the pesticide containing the compound of the present invention, can not generally be 20 defined, as it varies depending upon various conditions as mentioned above, but is usually carried out in a concentration of the active ingredient being from 0.1 to 500,000 ppm, preferably from 1 to 100,000 ppm, and the dose per unit area is such that the compound of the 25 present invention is from 0.1 to 10,000 g, preferably from 10 to 1,000 g, per hectare. The application of the

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fungicide can not generally be defined, as it varies depending upon various conditions as described above, but is usually carried out in a concentration of the active ingredient being from 0.1 to 500,000 ppm, preferably from 1 to 100,000 ppm, and the dose per unit area is such that the compound of the present invention is from 0.1 to 10,000 g, preferably from 10 to 1,000 g, per hectare. Further, agricultural and horticultural pesticides as another preferred embodiment of pesticides containing the compounds of the present invention may be applied in accordance with the above-described application of insect pest control agents and fungicides. The present invention includes such a method for controlling insect pests by such applications.

Various formulations of pesticides such as insect 15 pest control agents or fungicides containing the compounds of the present invention or their diluted compositions may be applied by conventional methods for application which are commonly employed, such as spraying (e.g. spraying, jetting, misting, atomizing, powder or 20 grain scattering or dispersing in water), soil application (e.g. mixing or drenching), surface application (e.g. coating, powdering or covering) or impregnation to obtain poisonous feed. Further, it is possible to feed domestic animals with a food containing 25 the above active ingredient and to control the outbreak or growth of pests, particularly insect pests, with their

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excrements. Furthermore, the active ingredient may also be applied by a so-called ultra low-volume application method. In this method, the composition may be composed of 100% of the active ingredient.

Further, the pesticides such as insect pest control 5 agents or fungicides containing compounds of the present invention may be mixed with or may be used in combination with other agricultural chemicals, fertilizers or phytotoxicity-reducing agents, whereby synergistic effects or activities may sometimes be obtained. Such 10 other agricultural chemicals include, for example, a herbicide, an insecticide, a miticide, a nematicide, a soil pesticide, a fungicide, an antivirus agent, an attractant, an antibiotic, a plant hormone and a plant growth regulating agent. Especially, with a mixed 15 pesticide having a compound of the present invention mixed with or used in combination with one or more active compounds of other agricultural chemicals, the application range, the application time, the pesticidal activities, etc. may be improved to preferred directions. 20 The compound of the present invention and the active compounds of other agricultural chemicals may separately be formulated so that they may be mixed for use at the time of application, or they may be formulated together. The present invention includes such a mixed pesticidal 25 composition.

The mixing ratio of the compound of the present

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invention to the active compounds of other agricultural chemicals can not generally be defined, since it varies depending upon the weather conditions, the types of formulations, the application time, the application site, the types or degree of outbreak of insect pests, etc., but it is usually within a range of from 1:300 to 300:1, preferably from 1:100 to 100:1, by weight. Further, the dose for the application is such that the total amount of the active compounds is from 0.1 to 5,000 g, preferably from 10 to 3,000 g, per hectare. The present invention includes a method for controlling insect pests by an application of such a mixed pesticide composition.

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The active compounds of insect pest control agents such as insecticides, miticides, nematicides or soil pesticides in the above-mentioned other agricultural 15 chemicals, include, for example, (by common names, some of them are still in an application stage) organic phosphate compounds such as Profenofos, Dichlorvos, Fenamiphos, Fenitrothion, EPN, Diazinon, Chlorpyrifosmethyl, Acephate, Prothiofos, Fosthiazate and 20 Phosphocarb; carbamate compounds such as Carbaryl, Propoxur, Aldicarb, Carbofuran, Thiodicarb, Methomyl, Oxamyl, Ethiofencarb, Pirimicarb, and Fenobucarb; nereistoxin derivatives such as Cartap, and Thiocyclam; organic chlorine compounds such as Dicofol, and 25 Tetradifon; organometallic compounds such as Fenbutatin Oxide; pyrethroid compounds such as Fenvalerate,

Permethrin, Cypermethrin, Deltamethrin, Cyhalothrin,
Tefluthrin, and Ethofenprox; benzoylurea compounds such
as Diflubenzuron, Chlorfluazuron, Teflubenzuron, and
Novaluron; juvenile hormone-like compounds such as
Methoprene; pyridazinone compounds such as Pyridaben;
pyrazole compounds such as Fenpyroximate, Fipronil, and
Tebufenpyrad; neonicotinoids such as Imidacloprid,
Nitenpyram, Acetamiprid, Diacloden, and Thiacloprid;
hydrazine compounds such as Tebufenozide,

- Methoxyfenozide, and Chromafenozide; dinitro compounds; organic sulfur compounds; urea compounds; triazine compounds; hydrazone compounds; and other compounds, such as Buprofezin, Hexythiazox, Amitraz, Chlordimeform, Silafluofen, Triazamate, Pymetrozine, Pyrimidifen,
- Cyromazin. Further, BT agents, microbial agricultural chemicals such as insect viruses, or antibiotics such as Avermectin, Milbemycin and Spinosad, may be used in admixture or in combination.
- The active compounds of fungicides among the abovementioned other agricultural chemicals include, for
 example, (by common names, some of which are still in an
 application stage) pyrimidinamine compounds such as
 Mepanipyrim, Pyrimethanil, and Cyprodinil; azole

 compounds such as Triadimefon, Bitertanol, Triflumizole,
 Etaconazole, Propiconazole, Penconazole, Flusilazole,
 Myclobutanil, Cyproconazole, Terbuconazole, Hexaconazole,

Furconazole-cis, Prochloraz, Metconazole, Epoxiconazole, and Tetraconazole; quinoxaline compounds such as Quinomethionate; dithiocarbamate compounds such as Maneb, Zineb, Mancozeb, Polycarbamate, Propineb; organic chlorine compounds such as Fthalide, Chlorothalonil, and Quintozene; imidazole compounds such as Benomyl, Thiophanate-Methyl, Carbendazim, and 4-chloro-2-cyano-1dimethylsulfamoyl-5-(4-methylphenyl)imidazole; pyridinamine compounds such as Fluazinam; cyanoacetamide compounds such as Cymoxanil; phenylamide compounds such 10 as Metalaxyl, Oxadixyl, Ofurace, Benalaxyl, Furalaxyl, and Cyprofuram; sulfenic acid compounds such as Dichlofluanid; copper compounds such as cupric hydroxide, and Oxine Copper; isoxazole compounds such as Hydroxyisoxazole; organophosphorus compounds such as 15 Fosetyl-Al, Tolcofos-Methyl, S-benzyl 0,0diisopropylphosphorothioate, O-ethyl S,Sdiphenylphosphorodithioate, and aluminumethylhydrogen phosphonate; N-halogenothioalkyl compounds such as Captan, Captafol, and Folpet; dicarboximide compounds 20 such as Procymidone, Iprodione, and Vinclozolin; benzanilide compounds such as Flutolanil, and Mepronil; piperazine compounds such as Triforine; pyrizine compounds such as Pyrifenox; carbinol compounds such as 25 Fenarimol; and Flutriafol; piperidine compounds such as Fenpropidine; morpholine compounds such as Fenpropimorph; organotin compounds such as Fentin Hydroxide, and Fentin

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Acetate; urea compounds such as Pencycuron; cinnamic acid compounds such as Dimethomorph; phenylcarbamate compounds such as Diethofencarb; cyanopyrrole compounds such as Fludioxonil, and Fenpiclonil; β -methoxyacrylate compounds such a Azoxystrobin, Kresoxim-Methyl, and Metominofen; oxazolidinedione compounds such as Famoxadone; anthraquinone compounds; crotonic acid compounds; antibiotics; and other compounds, such as Isoprothiolane, Tricyclazole, Pyroquilon, Diclomezine, Pro. benazole, Quinoxyfen, Propamocarb Hydrochloride and Spiroxamine.

Now, pesticides like marine antifouling agents will be described.

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The marine antifouling agents containing the compounds of the present invention are effective for controlling noxious marine organisms against ships or underwater structures (such as harbour structures, buoys, pipelines, bridges, submarine bases, seabed oilfield drilling installations, water conduits for power plants, fixed shore nets and culturing nets). Specifically, they are effective for preventing the attachment and propagation of plants such as green algae and brown algae, animals such as a barnacle, a serpla, an ascidian, a sea mussel and an oyster, various bacteria called slime, and aquatics such as mold and a diatom, at the bottoms of ships or on underwater structures.

The marine antifouling agents containing the compounds of the present invention provide antifouling

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and antislime properties over a long period of time and exhibit excellent effects for preventing the attachment and propagation of noxious marine organisms against ships or underwater structures.

The marine antifouling agents containing the 5 compounds of the present invention are usually formulated and used in the form of paint compositions. However, they may be formulated and used in other forms (such as solutions, emulsifiable concentrates, or pellets) as the case requires. Paint vehicles to be used for formulating the compounds of the present invention into coating compositions, may be resin vehicles which are commonly used. For example, a vinyl chloride resin, a vinyl chloride-vinyl acetate copolymer, a vinyl chloride-vinyl isobutyl ether copolymer, a chlorinated rubber resin, a chlorinated polyethylene resin, a chlorinated polypropylene resin, an acrylic resin, a styrenebutadiene resin, a polyester resin, an epoxy resin, a phenol resin, a synthetic rubber, a silicone rubber, a silicone resin, a petroleum resin, a oil and fat resin, a rosin ester resin, a rosin soap or rosin, may be mentioned. Further, as a vehicle having antifouling properties, an acrylic copolymer resin composition containing, as constituting units, an organotin compound salt of an unsaturated mono- or di-carboxylic acid, obtainable by a condensation reaction of (meth)acrylic acid with an organotin compound such as

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bis(tributyltin)oxide or triphenyltin hydroxide, or a resin containing a metal element such as copper, zinc or tellurium in its side chains, may, for example, be used.

When the compound of the present invention is formulated as a coating composition, the blend proportion is adjusted so that the compound of the present invention will be contained in an amount of from 0.1 to 60 wt%, preferably from 1 to 40 wt%, based on the entire coating composition.

The coating composition containing the compound of the present invention can be prepared by using e.g. a ball mill, a pebble mill, a roll mill or a sand grinder in accordance with a method which is well known in the field of preparing coating materials. Further, the above coating composition may contain a plasticizer, a coloring pigment, an extender pigment, an organic solvent, etc. which are commonly used in this field.

The coating composition containing the compound of the present invention may further contain any other known inorganic or organic antifouling agent, as the case requires. Such an antifouling agent includes, for example, cuprous oxide, copper rhodanide, copper hydroxide, copper naphthenate, metallic copper and various tin compounds and dithiocarbamic acid derivatives, such as tetramethylthiuram monosulfide, tetramethylthiuram disulfide, zinc bis-(dimethyldithiocarbamate), zinc ethylene-

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bis(dithiocarbamate), manganese ethylenebis(dithiocarbamate), and copper
bis(dimethyldithiocarbamate).

As described in the foregoing, the compound of the present invention or the compound of the formula (II) as its intermediate, is effective as an active ingredient of a pesticide. Various embodiments thereof will be summarized as follows.

- (1) A pesticide containing a compound of the above 10 formula (I) or (II), or its salt, as an active ingredient, or a method for controlling pests by employing such a compound.
 - (2) An agricultural and horticultural pesticide containing a compound of the above formula (I) or (II), or its salt, as an active ingredient, or a method for controlling pests in an agricultural and horticultural field by employing such a compound.
 - (3) An insect pest control agent containing a compound of the above formula (I) or (II), or its salt, as an active ingredient, or a method for controlling pests by employing such a compound.
 - (4) An insecticide containing a compound of the above formula (I) or (II), or its salt, as an active ingredient, or a method for controlling noxious insects by employing such a compound.
 - (5) A miticide containing a compound of the above formula (I) or (II), or its salt, as an active

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ingredients, or a method for controlling mites by employing such a compound.

- (6) A nematicide containing a compound of the above formula (I) or (II), or its salt, as an active ingredient, or a method for controlling nematodes by employing such a compound.
- (7) A soil pesticide containing a compound of the above formula (I) or (II), or its salt, as an active ingredient, or a method for controlling soil pests by employing such a compound.
- (8) A fungicide containing a compound of the above formula (I) or (II), or its salt, as an active ingredient, or a method for controlling fungi by employing such a compound.
- 15 (9) A marine antifouling agent containing a compound of the above formula (I) of (II), or its salt, as an active ingredient, or a method for controlling marine fouling organisms by employing such a compound.

Now, the present invention will be described in

further detail with reference to Examples. However, it
should be understood that the present invention is by no
means restricted to such specific Examples. Firstly,
Examples for preparing compounds of the present invention
will be described.

25 PREPARATION EXAMPLE 1

Preparation of β -(2-chlorophenyl)- β -isopropylcarbonyloxy- α -(2-thienyl)acrylonitrile (after-mentioned Compound No.

b-35)

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1) 1.12 g of sodium was added to 25 ml of dry ethanol, followed by heating to a reflux temperature. Then, a mixture comprising 5.0 g of 2-thiopheneacetonitrile, 7.49 g of ethyl 2-chlorobenzoate and 25 ml of dry ethanol, was dropwise added. After completion of the dropwise addition, the mixture was reacted for 1 hour under reflux.

After completion of the reaction, the reaction

mixture was cooled and put into water, and the aqueous
layer washed with methylene chloride was weakly acidified
with hydrochloric acid and extracted with methylene
chloride. The obtained extracted layer was dried over
anhydrous sodium sulfate and concentrated under reduced

pressure to obtain 1.6 g of β-(2-chlorophenyl)-β-hydroxyα-(2-thienyl)acrylonitrile having a melting point of from
l64 to l67°C. The NMR spectrum data of this compound
were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

20 6.54(s,lH), 7.18(dd,lH), 7.38-7.60(m,5H), 7.57(dd,lH)

2) 46 mg of triethylamine was added to a mixture comprising 0.12 g of β -(2-chlorophenyl)- β -hydroxy- α -(2-thienyl)acrylonitrile and 5 ml of dichloroethane, followed by cooling with ice. Then, a mixture comprising 54 mg of isobutylyl chloride and 2 ml of dichloroethane, was dropwise added. After completion of the dropwise addition, the mixture was returned to room temperature

and reacted for 1.5 hours.

After completion of the reaction, the reaction mixture was put into water and extracted with methylene chloride. The extracted layer was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/4) to obtain 0.12 g of the desired product having a melting point of from 84 to 86°C. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

- 1.27(d,6H), 2.90(m,1H), 7.11(dd,1H), 7.33-7.40(m,2H),
- 7.45(d,2H), 7.52(d,1H), 7.65(dd,1H)

15 PREPARATION EXAMPLE 2

Preparation of α -(2,4-dichlorophenyl)- β -ethylsulfonyloxy- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-63)

- 1) A mixture comprising 3.7 g of 2-
- 20 trifluoromethylbenzoyl chloride and 15 ml of toluene, were dropwise added with stirring at room temperature to a mixture comprising 3.0 g of 2,4-

dichlorophenylacetonitrile, 45 ml of toluene, 1.63 g of triethylamine and 0.1 g of 4-dimethylaminopyridine.

After completion of the dropwise addition, the mixture was reacted for 2 hours under reflux.

After completion of the reaction, the reaction

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mixture was cooled, put into water and extracted with methylene chloride. The obtained extracted layer was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure to obtain 6.13 g of α -(2,4-dichlorophenyl)- β -(2-trifluoromethylphenyl)- β -(2-trifluoromethylphenyl)- β -(2-trifluoromethylphenzoyloxy)acrylonitrile. The NMR

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

spectrum data of this compound were as follows.

7.21-7.45(m,3H), 7.49-7.88(m,8H)

2) 6.13 g of α -(2,4-dichlorophenyl)- β -(2-trifluoromethylphenyl)- β -(2-trifluoromethylphenzoyloxy)acrylonitrile obtained in the above step, was, without purification, dissolved in 90 ml of ethanol. A mixture comprising 0.69 g of sodium hydroxide and 12 ml of water, was added thereto, and the

After completion of the reaction, the reaction mixture was put into water, and the aqueous layer washed with methylene chloride was weakly acidified with hydrochloric acid and extracted with methylene chloride. The obtained extracted layer was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure to obtain 2.5 g of α -(2,4-

mixture was reacted at room temperature for 2.5 hours.

dichlorophenyl)-β-hydroxy-β-(2trifluoromethylphenyl)acrylonitrile (after-mentioned
Intermediate No. II-4) having a melting point of from 182

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15 hours.

to 183°C. The NMR spectrum data of this compound were as follows.

¹H-NMR δppm (Solvent: CDCl₃/400 MHz) 5.81(s,1H), 7.38-7.48(m,2H), 7.54-7.65(m,1H), 7.66-7.82(m,4H)

3) 0.118 g of ethanesulfonyl chloride was added under cooling with ice to a mixture comprising 0.30 g of α - (2,4-dichlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile, 7 ml of dichloroethane and 93 mg of triethylamine. Then, the mixture was returned to room temperature and reacted for

After completion of the reaction, the reaction mixture was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/4) to obtain 0.21 g of the desired product having a melting point of from 114 to 116°C. The NMR spectrum data of this product were as follows.

 $^{1}\text{H-NMR}$ δ ppm (Solvent: CDCl₃/400 MHz)

1.14(t,3H), 2.75-2.94(m,2H), 7.40(dd,1H), 7.47(d,1H),

7.57(d,1H), 7.71-7.78(m,2H), 7.85-7.89(m,2H)

PREPARATION EXAMPLE 3

25 Preparation of α -(2,4-dichlorophenyl)- β methylsulfonyloxy- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-55)

93 mg of triethylamine was added to a mixture comprising 0.30 g of α -(2,4-dichlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 7 ml of dichloroethane. Then, 96 mg of methanesulfonyl chloride was added thereto, and the mixture was reacted for 17 hours at room temperature.

After completion of the reaction, the reaction mixture was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced

10 pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/6) to obtain 0.13 g of the desired oily product. The NMR spectrum data of this product were as follows.

PREPARATION EXAMPLE 4

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Preparation of α -(2,4-dichlorophenyl)- β -(n-20 propylsulfonyloxy)- β -(2-trifluoromethylphenyl)-acrylonitrile (after-mentioned Compound No. a-67) (Process 1)

93 mg of triethylamine was added to a mixture comprising 0.30 g of α -(2,4-dichlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 7 ml of dichloroethane. Then, 0.13 g of n-propanesulfonyl chloride was added thereto, and the mixture was reacted

for 15 hours at room temperature.

After completion of the reaction, the reaction mixture was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/4) to obtain 0.15 g of the desired oily product. The NMR spectrum data of this product were as follows.

PREPARATION EXAMPLE 5

Preparation of α -(2,4-dichlorophenyl)- β -(n-propylsulfonyloxy)- β -(2-trifluoromethylphenyl)-acrylonitrile (after-mentioned Compound No. a-67) (Process 2)

2.22 g of triethylamine was added to a mixture comprising 5.60 g of α -(2,4-dichlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 50 ml of dichloroethane. Then, a mixture comprising 2.90 g of n-propanesulfonyl chloride and 10 ml of dichloroethane, was dropwise added thereto. After completion of the dropwise addition, the mixture was reacted for 2 hours at room temperature.

After completion of the reaction, the reaction

mixture was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/6) to obtain 2.8 g of the desired product having a melting point of from 95 to 96°C.

PREPARATION EXAMPLE 6

Preparation of α -(4-chlorophenyl)- β -(n-butylsulfonyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-156)

- A mixture comprising 6.19 g of 2trifluoromethylbenzoyl chloride and 15 ml of toluene, was
 dropwise added with stirring at room temperature to a
 mixture comprising 3.0 g of 4-chlorophenylacetonitrile,
 30 ml of toluene, 3.0 g of triethylamine and 0.1 g of 4dimethylaminopyridine. After completion of the dropwise
 addition, the mixture was reacted for 8 hours under
 reflux.
- After completion of the reaction, the reaction

 20 mixture was cooled, put into water and extracted with

 methylene chloride. The obtained extracted layer was

 washed with water, dried over anhydrous sodium sulfate

 and then concentrated under reduced pressure to obtain

 3.08 g of α -(4-chlorophenyl)- β -(2-trifluoromethylphenyl)
 25 β -(2-trifluoromethylbenzoyloxy)acrylonitrile.
 - 2) 3.08 g of α -(4-chlorophenyl)- β -(2-trifluoromethylphenyl)- β -(2-

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trifluoromethylbenzoyloxy)acrylonitrile obtained in the above step was, without purification, dissolved in 40 ml of ethanol. A mixture comprising 0.50 g of sodium hydroxide and 10 ml of water was added thereto, and the mixture was reacted for 2 hours at room temperature.

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After completion of the reaction, the reaction mixture was put into water, and the aqueous layer washed with methylene chloride was weakly acidified with hydrochloric acid and extracted with methylene chloride.

The obtained extracted layer was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure to obtain 1.68 g of α-(4-chlorophenyl)-β-hydroxy-β-(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Intermediate No. II-2) having a melting point of from 146 to 148°C. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz) 7.41(d,2H), 7.58-7.68(m,5H), 7.75(m,1H)

3) 86 mg of triethylamine was added to a mixture comprising 0.25 g of α-(4-chlorophenyl)-β-hydroxy-β-(2trifluoromethylphenyl)acrylonitrile and 8 ml of dichloroethane. Then, a mixture comprising 0.133 g of nbutanesulfonyl chloride and 2 ml of dichloroethane, was dropwise added thereto. After completion of the dropwise addition, the mixture was reacted for 15 hours at room temperature.

After completion of the reaction, the reaction

mixture was washed with water, and the organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/6) to obtain 0.12 g of the desired product having a melting point of from 63 to 64°C. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

10 0.78(t,3H), 1.25(m,2H), 1.58(m,2H), 2.78(m,2H),

7.45(d,2H), 7.63(d,2H), 7.72(m,2H), 7.82(m,2H)

PREPARATION EXAMPLE 7

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Preparation of α -(4-bromophenyl)- β -(ethylsulfonyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-21)

A mixture comprising 17.55 g of 2trifluoromethylbenzoyl chloride and 30 ml of toluene, was
dropwise added with stirring at room temperature to a
mixture comprising 15.0 g of 4-bromophenylacetonitrile,
 120 ml of toluene, 8.52 g of triethylamine and 0.5 g of
4-dimethylaminopyridine. After completion of the
dropwise addition, the mixture was reacted for 4 hours
under reflux.

After completion of the reaction, the reaction

25 mixture was cooled, put into water and extracted with

methylene chloride. The obtained extracted layer was

washed with water, dried over anhydrous sodium sulfate

and then concentrated under reduced pressure to obtain 21.25 g of α -(4-bromophenyl)- β -(2-trifluoromethylphenyl)- β -(2-trifluoromethylbenzoyloxy)acrylonitrile.

5 2) 21.25 g of α-(4-bromophenyl)-β-(2-trifluoromethylphenyl)-β-(2-trifluoromethylphenzoyloxy)acrylonitrile obtained in the above step was, without purification, dissolved in 60 ml of ethanol. Then, a mixture comprising 2.36 g of sodium hydroxide and 15 ml of water, was added thereto, and the mixture was reacted for 2 hours at room temperature.

After completion of the reaction, the reaction mixture was put into water, and the aqueous layer washed with methylene chloride was weakly acidified with hydrochloric acid and extracted with methylene chloride. The obtained extracted layer was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure to obtain 9.52 g of α-(4-bromophenyl)-β-hydroxy-β-(2-trifluoromethylphenyl)acrylonitrile (aftermentioned Intermediate No. II-3) having a melting point of from 168 to 173°C.

3) 91 mg of triethylamine was added to a mixture comprising 0.30 g of α -(4-bromophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 8 ml of dichloroethane. Then, a mixture comprising 0.11 g of ethanesulfonyl chloride and 2 ml of dichloroethane, was

dropwise added thereto. After completion of the dropwise

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addition, the mixture was reacted for 15 hours at room temperature.

After completion of the reaction, the reaction mixture was washed with water, and the organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/4) to obtain 0.14 g of the desired product having a melting point of from 131 to 132°C. The NMR spectrum data of this product were as follows.

¹H-NMR δppm (Solvent: CDCl₃/400 MHz) 1.23(t,3H), 2.85(m,2H), 7.56-7.62(m,4H), 7.71(m,2H), 7.83(m,2H)

15 PREPARATION EXAMPLE 8

Preparation of α -(4-bromophenyl)- β -(n-propylsulfonyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-22)

60 mg of triethylamine was added to a mixture comprising 0.20 g of α -(4-bromophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 6 ml of dichloroethane. Then, a mixture comprising 77 mg of n-propanesulfonyl chloride and 2 ml of dichloroethane, was dropwise added thereto. After completion of the dropwise addition, the mixture was reacted for 15 hours at room temperature.

After completion of the reaction, the reaction

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mixture was washed with water, and the organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/9) to obtain 0.10 g of the desired oily product. The NMR spectrum data of this product were as follows.

¹H-NMR δppm (Solvent: CDCl₃/400 MHz) 0.86(t,3H), 1.65(m,2H), 2.76(m,2H), 7.56-7.63(m,4H), 7.71(m,2H), 7.81(m,2H)

PREPARATION EXAMPLE 9

Preparation of α -(4-bromophenyl)- β -(n-butylsulfonyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-23)

60 mg of triethylamine was added to a mixture comprising 0.20 g of α -(4-bromophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 6 ml of dichloroethane. Then, a mixture comprising 85 mg of n-butanesulfonyl chloride and 2 ml of dichloroethane, was dropwise added thereto. After completion of the dropwise addition, the mixture was reacted for 15 hours at room temperature.

After completion of the reaction, the reaction mixture was washed with water, and the organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing

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solvent: ethyl acetate/n-hexane = 1/9) to obtain 70 mg of the desired oily product. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

0.78(t,3H), 1.23(m,2H), 1.59(m,2H), 2.78(m,2H), 7.57-

7.63(m,4H), 7.71(m,2H), 7.82(m,2H)

PREPARATION EXAMPLE 10

Preparation of α -(4-chlorophenyl)- β (dimethylthiocarbamoyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-316)

A mixed solution comprising 0.42 g of dimethylthiocarbamoyl chloride and 5 ml of acetonitrile, was dropwise added at room temperature to a mixed solution comprising 1.0 g of α-(4-chlorophenyl)-β-15 hydroxy-β-(2-trifluoromethylphenyl)acrylonitrile, 0.47 g of triethylamine, a catalytic amount of 4-dimethylaminopyridine and 20 ml of acetonitrile. After completion of the dropwise addition, the mixture was reacted for 2 hours at 50°C.

After completion of the reaction, acetonitrile was distilled off under reduced pressure. Ethyl acetate and water were added to the residue to carry out extraction. The organic layer was washed with water and a saturated sodium chloride aqueous solution and dried over anhydrous magnesium sulfate. Then, the solvent was distilled off, and the residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-

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hexane = 1/4) to obtain 0.82 g of the desired product having a melting point of 137.9°C. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

3.10(s,3H), 3.22(s,3H), 7.35-8.15(m,8H)

PREPARATION EXAMPLE 11

Preparation of α -(4-chlorophenyl)- β -(S-ethyldithiocarbonyloxy)- β -(2-trifluoromethylphenyl)-acrylonitrile (after-mentioned Compound No. a-306)

A mixed solution comprising 500 mg of α -(4-chlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 2 ml of N,N-dimethylformamide were dropwise added under cooling with ice to a mixture comprising 68 mg of 60% sodium hydride and 10 ml of N,N-dimethylformamide. After completion of the dropwise addition, the mixture was gradually returned to room temperature, and stirring was continued until generation of hydrogen gas completed. Then, the mixture was again cooled with ice, and a mixed solution comprising 240 mg of ethyl chlorodithiocarbonate and 2 ml of N,N-dimethylformamide, was dropwise added. After completion of the dropwise addition, the mixture was reacted for 2 hours at room temperature.

After completion of the reaction, the reaction mixture was poured into 100 ml of ice water and then extracted with 150 ml of ethyl ether. The organic layer was washed with water and a saturated sodium chloride

aqueous solution and dried over anhydrous magnesium sulfate. Then, the solvent was distilled off, and the residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/9) to obtain 380 mg of the desired product having a refractive index $n_D^{27.2}$ of 1.5612. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz) 1.29(t,3H,J=7.80 Hz), 3.08(q,2H,J=7.80 Hz), 7.01-7.93(m,8H)

PREPARATION EXAMPLE 12

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Preparation of α -(4-chlorophenyl)- β -(diethylaminosulfonyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-286)

0.18 g of triethylamine was added to a mixture comprising 0.3 g of α -(4-chlorophenyl)- β -hydroxy- β -(2trifluoromethylphenyl)acrylonitrile and 5 ml of dichloroethane. Then, 0.27 g of diethylsulfamoyl chloride was added thereto, and the mixture was reacted for 3 hours under reflux.

After completion of the reaction, water was put into the reaction mixture and extracted with methylene chloride. The extracted organic layer was washed with water and dried over anhydrous sodium sulfate. Then, it was concentrated under reduced pressure, and the obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 15/85) to

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obtain 78 mg of the desired oily product. The NMR spectrum data of this product were as follows.

¹H-NMR δppm (Solvent: CDCl₃/400 MHz) 1.05(t,6H), 3.06(m,4H), 7.42(d,2H), 7.57(d,2H), 7.63-7.80(m,4H)

PREPARATION EXAMPLE 13

Preparation of α -(4-chlorophenyl)- β -(S-methyldithiocarbonyloxy)- β -(2-trifluoromethylphenyl)-acrylonitrile (after-mentioned Compound No. a-305)

A mixed solution comprising 800 mg of α -(4-chlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 2 ml of N,N-dimethylformamide were dropwise added under cooling with ice to a mixture comprising 110 mg of 60% sodium hydride and 10 ml of N,N-dimethylformamide. After completion of the dropwise addition, the mixture was gradually returned to room temperature, and the stirring was continued until generation of hydrogen gas completed. Then, the mixture was cooled again with ice, and a mixed solution comprising 340 mg of methyl chlorodithiocarbonate and 2 ml of N,N-dimethylformamide, was dropwise added thereto. After completion of the dropwise addition, the mixture was reacted for 2 hours at room temperature.

After completion of the reaction, the reaction

25 mixture was poured into 100 ml of ice water. Then, 150

ml of ethyl ether was added thereto for extraction. The

organic layer was washed with water and a saturated

sodium chloride aqueous solution and dried over anhydrous magnesium sulfate. Then, the solvent was distilled off, and the residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 1/9) to obtain 610 mg of the desired product having a refractive index $n_D^{39.4}$ of 1.5930. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

2.47(s,3H), 2.53(s,3H), 7.07-7.99(m,8H)

10 PREPARATION EXAMPLE 14

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Preparation of α -(4-chlorophenyl)- β (dimethylaminosulfonyloxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-218)

- 1.25 g of triethylamine was added to a mixture comprising 2.0 g of α -(4-chlorophenyl)- β -hydroxy- β -(2-trifluoromethylphenyl)acrylonitrile and 40 ml of dichloroethane. Then, 1.68 g of dimethylsulfamoyl chloride was added thereto, and the mixture was reacted for 2 hours under reflux.
- 20 After completion of the reaction, water was added to the reaction mixture and extracted with methylene chloride. The extracted organic layer was washed with water and dried over anhydrous sodium sulfate. Then, it was concentrated under reduced pressure, and the obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 15/85) to obtain 2.50 g of the desired product having a melting

point of from 110 to 112°C. The NMR spectrum data of this product were as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/400 MHz)

2.66(s,6H), 7.43(d,2H), 7.60(d,2H), 7.67-7.82(m,4H)

5 PREPARATION EXAMPLE 15

Preparation of α -(4-chlorophenyl)- β -(ethyldithiooxy)- β -(2-trifluoromethylphenyl)acrylonitrile (after-mentioned Compound No. a-488)

1) 0.109 g of sulfur dichloride was added to a mixture comprising 0.25 g of α-(4-chlorophenyl)-β-hydroxy-β-(2trifluoromethylphenyl)acrylonitrile and 10 ml of ethyl ether. Then, a mixture comprising 67 mg of pyridine and 10 ml of ethyl ether, was dropwise added thereto at -10°C, and the mixture was returned to room temperature and reacted for 3 hours.

After completion of the reaction, the reaction mixture was filtered, and the filtrate was concentrated under reduced pressure to obtain 0.30 g of α -(4-chlorophenyl)- β -chlorosulfenyloxy- β -(2-

20 trifluoromethylphenyl)acrylonitrile.

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2) 0.30 g of α -(4-chlorophenyl)- β -chlorosulfenyloxy- β (2-trifluoromethylphenyl)acrylonitrile obtained in the
above step was, without purification, dissolved in 10 ml
of dichloroethane. Then, 58 mg of ethanethiol was added
thereto, and then 94 mg of triethylamine was added
thereto under cooling with ice. The mixture was returned
to room temperature and reacted for 1 hour.

After completion of the reaction, water was added to the reaction mixture and extracted with methylene chloride. The extracted organic layer was washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane = 15/85) to obtain 0.20 g of the desired oily product. The NMR spectrum data of this product were as follows.

Now, typical examples of the compound of the present invention of the above formula (I) will be shown in

Tables 1-a, 1-b, 1-c and 1-d, and typical examples of the intermediate compound of the formula (II) will be shown in Table 2. These compounds can be synthesized in accordance with the above-described Preparation Examples or the above-described various methods for producing the compound of the present invention or its intermediate compound.

Table I-a

$$(R_3)_m \longleftrightarrow C \longrightarrow C \longrightarrow C \longrightarrow (I_a)$$

	·			
Comp.	(R ₃) _m	R 1	(R ₂),	Physical Property (Melting point)
a-1	© <u></u>	-SO ₂ CH ₂ CH ₃	F ₃ C O	74∼ 75℃
a-2	<u></u>	-SO ₂ N(CH ₃) ₂	F,C	102∼ 104℃
a-3	© cı	-COCH ₃	c1 D	98~ 100℃
a-4	©_c1	-COCH3	CI CI	Oily
a-5	©_c1	-CO(CH ₂) ₂ CH ₃	c1 DO	Oily
a-6	© C1	-CO(CH ₂) ₂ CH ₃ .	c1 C1	Oily
a-7	© cı	-COCH(CH ₃) ₂	c1 🎾	Oily .
a-8	© _ cı	-COC(CH ₃) ₃	cı 🔘	83~ 84°C
a-9	© cı	-COC(CH ₃) ₃	CI CI	Oily
a-10	C1	-CO(CH ₂) ₂ CH ₃	F ₃ C	Oily

Table I-a (Continued)

Comp.	(R ₃) _m	R ₁	(R ₂),	Physical Property (Melting point)
a-11	c1- -	-COC(CH ₃) ₃	F,C O	87~ 92℃
a-12	c1 ———	-SO ₂ CH ₃	F, C O	104~ 108℃
a-13	C1 ———	-SO ₂ CH ₂ CH ₃	F, C	109~ 112℃
a-14	c1	-SO ₂ (CH ₂) ₂ CH ₃	F, C	86∼ 89℃
a-15	Br ⊙ - -	-COCH ₃	F, C	
a-16	Br ─ ◯ ─	-COCH₂CH₃	F ₃ C	
a-17	Br ─ ◆	-CO(CH ₂) ₂ CH ₃	F ₃ C	
a-18	Br ———	-COCH(CH ₃) ₂	F, C O	
a-19	Br — ()—	-COC(CH ₃) ₃	F, C	·
a-20	Br ————	-SO₂CH₃	F3 C	105~ 112℃
a-21	B r ─ ◯∕─	-SO ₂ CH ₂ CH ₃	F ₃ C	131~ 132℃
a-22	B r ⟨○ }-	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C	Oily

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Table I-a (Continued)

Comp.	(R ₃) _m	R ₁	(R ₂),	Physical Property (Melting point)
a-23	Br ⊘	-SO ₂ (CH ₂) ₃ CH ₃	F,C 0	Oily
a-24	c1 - © - c1	-COSCH ₃	F ₃ C O	Oily
a-25	c1-©	-COSCH2CH3	F, C	Oily
a-26	c1	-CH ₃	F, C	
a-27	c1-©	-CH2CH3	F, C	133~ 135℃
a-28	c1-©	-CH ₂ CF ₃	F,C	
a-29	c1	-CH ₂ OCH ₃	F ₃ C	E-isomer 99∼ 103℃
a-30	c1 — C1	-coch³	c1 🌣	138~ 140℃
a-31	c1-O-c1	-coch₃	F ₃ C	75∼ 78℃
a-32	c1-O-c1	-coch₃	c 1 N	
a-33	C1-O-C1	-coch₃	F ₃ C N	
a-34	c1-O-c1	-COCH₂CH₃ 	(1)	93~

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-35	c1	-COCH2CH3	F,C O	
a-36	c1 - © _ c1	-COCH2CH3	CI N	
a-37	cı — Cı	-COCH₂CH₃	F ₃ C N	
a-38	c1 - © _ c1	-CO(CH ₂) ₂ CH ₃	cı D	59~ 60℃
a-39	c1 - © - c1	-CO(CH ₂) ₂ CH ₃	F, C O	Oily
a-40	c1-O-c1	-CO(CH ₂) ₂ CH ₃	CI	
a-41	c1 — C1	-CO(CH ₂) ₂ CH ₃	F ₃ C	
a-42	c1-©	-COCH(CH ₃) ₂	c1	92~ 94℃
a-43	c1-O-c1	-COCH(CH ₃) ₂	F ₃ C O	Oily
a-44	c1-O-c1	-COCH(CH ₃) ₂	CI NO	
a-45	c1-O-c1	-COCH(CH ₃) ₂	F ₃ C N	
a-46	c1-O-c1	-CO(CH ₂),CH,	01	Oily

Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-47	c1 — C1	-COC(CH ₃) ₃	cı D	Oily
a-48	c1-O-c1	-COC(CH ₃) ₃	F ₃ C	Oily
a-49	c1-O-c1	-COC(CH ₃) ₃	cı N	
a-50	c1-O-c1	-COC(CH ₃) ₃	F ₃ C N	
a-51	c1	-CO(CH ₂) ₂ C1	c1 D	
a-52	c1	-CO(CH ₂) ₂ C1	F ₃ C	
a-53	c1	-SO₂CH₃	cı	Z-isomer 121~ 123℃
a-54	c1 — © — c1	-SO ₂ CH ₃	CI	E-isomer 115~ 117°C
a-55	c1-O-C1	-SO ₂ CH ₃	F. C	Oily .
a-56	c1 — © — c1	-SO ₂ CH ₃	F ₃ C0	
a-57	c1 - O - c1	-SO₂CH₃	02N	Amorphous
a-58	c1-O-c1	-SO ₂ CH ₃	NC D	

Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-59	cı—O—cı	-SO ₂ CH ₃	H, CO, S	
a-60	c1-O-c1	-SO ₂ CH ₃	c1 N	
a-61	c1-O-c1	-SO2CH3	F ₃ C N	
a-62	c1-O-c1	-SO ₂ CH ₂ CH ₃	CI	
a~63	c1	-SO ₂ CH ₂ CH ₃	F, C	E-isomer 114∼ 116℃
a-64	c1-©	-SO ₂ CH ₂ CH ₃	CI N	
a-65	c1	-SO ₂ CH ₂ CH ₃	F ₃ C N	
a-66	c1	-SO ₂ (CH ₂) ₂ CH ₃	c ₁	
a-67	c1-O-c1	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C	E-isomer 95~ 96℃
a-68	c1-O-c1	-SO ₂ (CH ₂) ₂ CH ₃	CI NO	
a-69	c1-O-c1	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C N	
a-70	c1-O-c1	-SO ₂ CH(CH ₃) ₂	F,C	109~ 112℃

Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-71	c1 - © _ c1	-SO ₂ (CH ₂) ₃ CH ₃	c1 D	
a-72	cı — Cı	-SO ₂ (CH ₂) ₃ CH ₃	F ₃ C O	Oily
a-73	c1 - © - c1	-SO ₂ (CH ₂) ₃ CH ₃	c1 N	
a-74	c1-O-c1	-SO ₂ (CH ₂) ₃ CH ₃	F ₃ C N	
a-75	c1-©	-SO ₂ (CH ₂) ₄ CH ₃	F, C	
a-76	C1 - C1	-SO ₂ (CH ₂) ₂ C1	F, C	
a-77	c1-©	-SO ₂ CF ₃	c1	Oily
a-78	c1	-SO ₂ CF ₃ .	F3C O	n _D ^{48. 2} 1. 5430
a-79	c1-O-c1	-SO ₂ CH ₂ CF ₃	F 3 C	·
a-80	c1	-SO ₂ CH = CH ₂	F ₃ C	117~ 120℃
a-81	c1-©	-SO ₂ CH ₂ CH = CH ₂	F ₃ C	
a-82	c1-O-C1	-\$0 ₂	F ₃ C	165~ 167℃

Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-83	F-O-F	-SO ₂ CH ₃	F3C 0	Oily
a-84	F	-SO ₂ CH ₃	F ₃ C O	
a-85	F F F	-SO ₂ CH ₃	F ₃ C	
a-86	F,C	-COCH3	c1 💢	
a-87	F 3 C	-COCH ₃	F ₃ C	
a-88	F3C	-coch₂ch₃	CI	
a-89	F3C	-COCH ₂ CH ₃	F ₃ C	
a-90	F ₃ C — (())—	-CO(CH ₂) ₂ CH ₃	CI	
a-91	F, C ———	-CO(CH ₂) ₂ CH ₃	F,C 10	
a-92	F3C-O-	-COCH(CH ₃) ₂	cı D	
a-93	F, C ———	-COCH(CH ₃) ₂	F ₃ C O	
a-94	F ₃ C - \(\bigcirc\)	-COC(CH ₃) ₃	c1 🔘	

Table I-a (Continued)

Comp.	(R ₃) _m	Rı	(R ₂),	Physical Property (Melting point)
a-95	F 3 C	-COC(CH ₃) ₃	F ₃ C	
a-96	F 3 C	-SO ₂ CH ₃	CI	121~ 124℃
a-97	F3C	-SO ₂ CH ₃	F ₃ C	115~ 125℃
a-98	F3C	-SO ₂ CH ₂ CH ₃	CI	
a-99	F,C	-SO ₂ CH ₂ CH ₃	F ₃ C	68~ 71℃
a-100	F,C	-SO ₂ (CH ₂) ₂ CH ₃	c1 🔘	
a-101	F 3 C ——	-SO ₂ (CH ₂) ₂ CH ₃	F3C	
a-102	F 2 C ———	-SO ₂ (CH ₂) ₃ CH ₃	CI O	
a-103	F ₃ C ————	-SO ₂ (CH ₂) ₃ CH ₃	F, C	
a-104	F3C-O-CI	-coch3	cı 🔘	
a-105	F3C-O-C1	-сосн _з	F ₃ C	
a-106	F ₃ C - C1	-COCH₂CH₃ 	c1 D	

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-107	F, C — CI	-COCH2CH3	F,C	
a-108	F,C-O-C1	-CO(CH ₂) ₂ CH ₃	c1 DO	
a-109	F3C - C1	-CO(CH ₂) ₂ CH ₃	F,C	
a-110	F3C-O-C1	-COCH(CH ₃) ₂	cı D	
a-111	F ₃ C — Cl	-COCH(CH ₃) ₂	F,C 0	
a-112	F3C-O-C1	-COC(CH ₃) ₃	cı 💢	
a-113	F ₃ C — C1	-COC(CH ₃) ₃	F ₃ C O	
a-114	F ₃ C — CI	-SO₂CH₃ .	, ci	
a-115	F ₃ C — C1	-SO₂CH₃	F ₃ C	·
a-116	F3C — C1	-SO ₂ CH ₂ CH ₃	cı 🎾	
a-117	F 3 C — C1	-SO ₂ CH ₂ CH ₃	F, C	
a-118	F ₃ C — CI	-SO ₂ (CH ₂) ₂ CH ₃	c1 D	

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R,),	Physical Property (Melting point)
a-119	F ₃ C — C1	-SO ₂ (CH ₂) ₂ CH ₃	F, C O	
a-120	F, C — C1	-SO ₂ (CH ₂) ₃ CH ₃	cı D	
a-121	F, C — C1	-SO ₂ (CH ₂) ₃ CH ₃	F, C	
a-122	F. C — (C)—	-SO ₂ CH ₃	F, C	
a-123	0 2 N ———	-COCH ₃	F ₃ C	92~ 95°C
a-124	0 ₂ N ————	-COCH₂CH₃	P ₃ C	
a-125	0 ₂ N	-CO(CH ₂) ₂ CH ₃	F, C	
a-126	0 ₂ N	-COCH(CH ₃) ₂	F,C O	
a-127	0 2 N —	-COC(CH ₃) ₃	F ₃ C	
a-128	0 ₂ N —	-SO ₂ CH ₃	F ₃ C	125∼ 128℃
a-129	0 ₂ N ————	-SO ₂ CH ₂ CH ₃	F, C	
a-130	02N	-SO ₂ (CH ₂) ₂ CH ₃	F,C O	

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Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-131	0 2 N —	-SO ₂ (CH ₂) ₃ CH ₃	F ₃ C O	
a-132	C I — (O)_NO2	-COCH ₃	F,C 0	
a-133	C1-O-NO2	-COCH2CH3	F,C	
a-134	C1-O-NO2	-CO(CH ₂) ₂ CH ₃	F ₃ C	
a-135	C1-O-NO2	-COCH(CH ₃) ₂	F ₃ C	
a-136	C1 — NO 2	-COC(CH ₃) ₃	F ₃ C	
a-137	C1-ONO2	-SO₂CH₃	F3C 0	130∼ 133℃
a-138	CI — NO ₂	-SO ₂ CH ₂ CH ₃	F ₃ C O	
a-139	CI-O-NO2	-SO ₂ (CH ₂) ₂ CH ₃	FaC	
a-140	C1-O-NO2	-SO ₂ (CH ₂) ₃ CH ₃	F ₃ C O	
a-141	0 2 M — O C I	-COCH3	F ₃ C	
a-142	02N — CI	-COCH2CH3	F,C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-143	0 2 N - C1	-CO(CH ₂) ₂ CH ₃	F ₃ C	
a-144	02N — C1	-COCH(CH ₃) ₂	F, C O	
a-145	0 2 N — C1	-COC(CH ₃) ₃	F ₃ C	
a-146	0 2 N - C1	-SO₂CH₃	F ₃ C	
a-147	02N — CI	-SO ₂ CH ₂ CH ₃	F, C	-
a-148	02N-O-C1	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C	
a-149	02N-O-C1	-SO ₂ (CH ₂) ₃ CH ₃	F, C	
a-150	H ₃ CS C1	-COC(CH ₃) ₃ .	F, C	пь ^{з о́. в} 1. 5432
a-151	H ₃ CO ₂ S C1	-SO ₂ CH ₃	F 3 C	147.3 ℃
a-152		-COC(CH ₃) ₃	F,C O	ль ^{зо. в} 1. 5336
a-153	C1 ———	-COCH3	F ₃ C N	Oily
a-154	c1-\(\rightarrow\)	-SO ₂ N(CH ₃) ₂	F,C N	

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Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-155	c1 − ⊘ _ c1	-co- ()	F,C O	
a-156	C1 ─ ◯ ─	-SO ₂ (CH ₂) ₃ CH ₃	F,C	63~ 64°C
a-157	c1 - ⊘−	-CS₂C≡CH	F,C	
a-158	©_c1	-SO ₂ CH ₃	F ₃ C	90~
a-159	C1 D	-SO₂CH₃	F, C	Oily.
a-160	c1-\(\rightarrow\)	-coch3	F, C	77~ 80℃
a-161	c1-\(\rightarrow\)	-COCH₂CH₃	F. C	65∼ 69℃
a-162	c1-\(\rightarrow\)	-COCH(CH ₃) ₂ .	F,C O	83~ 84℃
a-163	c1-\(\infty\)-	-SO ₂ (CH ₂) ₄ CH ₃	F 3 C	Oily".
a-164	c1-\(\rightarrow\)	-SO ₂ CH=CH ₂	F ₃ C	73~ 76℃
a-165	C1 ———	-SO ₂ CF ₃	F ₃ C	Oily
a-166	c1————	-SO ₂ CH ₂ CF ₃	F ₃ C O	102~ 105℃

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-167	c1- -	-SO ₂ (CH ₂) ₃ C1	F3C 0	Oily
a-168	c1-©-	-SO ₂ CH ₃	H,C	95~ 100℃
a-169	c1————	-SO ₂ CH ₃	F	121~ 123℃
a-170	C1 —⟨○}—	-SO ₂ CH ₃	02N	Oily
a-171	c1 - ⊘−	-SO ₂ CH ₃	CI	ль ^{23.8} 1.5991
a-172	c1 ⊘−	-SO ₂ CH ₃	F ₃ C N	101.9 ℃
a-173	F ———	-SO ₂ N(CH ₃)CH ₂ CH ₃	F. C O	77~ 79℃
a-174	F	-coch³ .	F ₃ C	
a-175	F	-SO ₂ CH ₃	F ₃ C	95~ 98℃
a-176	F ———	-SO ₂ CH ₂ CH ₃	F ₃ C	99~ 102℃
a-177	F ———	-SO ₂ (CH ₂) ₂ CH ₃	F 3 C	101~ 102℃
a-178	F ———	-SO ₂ (CH ₂) ₃ CH ₃	F ₃ C	83~ 85℃

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Table I-a (Continued)

	T	T	·	
Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-179	Br⟨○⟩	-COSCH ₃	F ₃ C	Oily
a-180	Br ─ ◯ ─	-SO ₂ (CH ₂) ₄ CH ₃	F,C)	Oily
a-181	Br ─ ◯ ─	-COSCH ₂ CH ₃	F ₃ C	65~ 68°C
a-182	1	-coch,	F ₃ C	
a-183	1-0-	-SO ₂ CH ₃	F ₃ C	112~ 113℃
a-184	1	-SO ₂ CH ₂ CH ₃	F3C	126~ 128℃
a-185	1	-SO ₂ (CH ₂) ₂ CH ₃	F. C (C)	106~ 107℃
a-186	1-∕⊙-	-SO ₂ (CH ₂) ₃ CH _{3.}	F ₃ C	73~ 75℃
a-187	c1 - © - c1	-SO ₂ (CH ₂) ₃ Cl	F ₃ C	Oily
a-188	c1-O-c1	-SO ₂ (CH ₂) ₂ CH ₃	Fac	Z-isomer 75∼ 77°C
a-189	c1-O-c1	-SO ₂ CH ₂ CH ₃	F ₃ C O	Z-isomer Oily
a-190	CI — O	-SO₂CH₃ 	F,C O	96~

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-191	F-O-F	-SO₂CH₂CH₃	F,C O	84.2 ℃
a-192	c1 _ <u>F</u>	-SO ₂ N(CH ₃)CH ₂ CH ₃	F ₃ C	
a-193	C1 −⟨◯ <u></u> F	-COCH ₃	F,C	
a-194	CI — F	-SO₂CH₃	F, C	81~ 84℃
a-195	CI - € F	-SO2CH2CH3	F,C	122~ 125℃
a-196	C1 - ← F	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C	65∼ 66℃
a-197	c1 - F	-SO ₂ (CH ₂) ₃ CH ₃	FaC	Oily
a-198	ci _ F	-SO ₂ N(CH ₂) ₂ CH ₃ CH ₃	F,C 0	
a-199	F-O-C1	-сосн _з	F ₃ C	
a-200	F-O-C1	-SO ₂ CH ₂ CH ₃	F ₃ C O	103~ 105℃
a-201	F-O-C1	-SO ₂ (CH ₂) ₂ CH ₃	F3C O	80~ 82°C
a-202	F-O-C1	-SO ₂ (CH ₂) ₃ CH ₃	F ₃ C	50~ 52℃

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R,),	Physical Property (Melting point)
a-203	H 3 C	-SO ₂ CH ₃	F, C O	133∼ 136℃
a-204	(H ₃ C) ₂ CH—————	-SO₂CH₃	F ₃ C	88~ 91°C
a-205	(H ₃ C) ₃ C ————	-s— 	F,C	
a-206	(H ₃ C) ₃ C ————	-SO ₂ CH ₃	F ₃ C	101~ 103℃
a-207	(H ₃ C) ₃ C ————	-SO ₂ (CH ₂) ₂ CH ₃	F, C	68~ 70℃
a-208	H₃CO	-SO₂CH₃	F ₃ C	127~ 130℃
a-209	c1-\	-CH ₂ CH ₃	F ₃ C	105~ 107℃
a-210	c1	-CH ₂ CH ₂ Cl	F, C O	71~ 73℃
a-211	c1- \(\)	-CH2OCH3	F, C	68~ 72℃
a-212	c1{()}-	-CH2OCH2CH3	F ₃ C	Öily
a-213	c1- -	-CH 2 CH 2 O CH 3	F ₃ C O	
a-214	¢1-∕⊙∕-	-SO ₂ CH(CH ₃) ₂	F ₃ C	82∼ 84℃

Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-215	c1(-)	-SO ₂ CH ₂ CH(CH ₃) ₂	F, C O	80∼ 83℃
a-216	¢1 —⊘—	-SO ₂ CH-CH ₂ CH ₃ CH ₃	F, C	
a-217	c1	-SO ₂ C(CH ₃) ₃	F ₃ C	
a-218	c1	-SO ₂ N(CH ₃) ₂	F ₃ C	110~ 112℃
a-219	F ———	-SO ₂ N(CH ₃) ₂	F3C	84~ 86℃
a-220	Br ⟨○}	-SO ₂ N(CH ₃) ₂	F,C 0	120~ 121℃
a-221	ı — ⊘—	-SO ₂ N(CH ₃) ₂	F, C O	126~ 127℃
a-222	c1 - © _ c1	-CH2CH2C1	F ₃ C	88∼ 90℃
a-223	c1-O-C1	-CH2OCH2CH3	F ₃ C	E-isomer 86∼ 88℃
a-224	c1-O-C1	-CH2OCH2CH3	F,C	Z-isomer 77∼ 80°C
a-225	c1-O-c1	-CH2CH2OCH3	F,C	111~ 113℃
a-226	c1-O-c1	- CH 2 S CH 3 -	F ₃ C	E-isomer 87∼ 92°C

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-227	c1 — C1	-SO ₂ CH ₂ CH(CH ₃) ₂	F ₃ C	Oily
a-228	c1	-SO ₂ CH-CH ₂ CH ₃ CH ₃	F ₃ C	
a-229	c1	-SO ₂ C(CH ₃) ₃	F, C O	
a-230	c1	-S0 ₂ N(CH ₃) ₂	F, C	137~ 141℃
a-231	NC ———	-SO ₂ (CH ₂) ₂ CH ₃	F, C	113.1 ℃
a-232	<u></u>	-SO₂CH₃	F, CO O	95.3 ℃
a-233	© cı	-SO ₂ CH ₂ CH ₃	F ₃ C	Oily
a-234	cı - ⊘−	-CH3 .	F,C O	100~ 101℃
a-235	c1 ———	-(CH ₂) ₂ CH ₃	F ₃ C	Oily .
a-236	cı ————	-CH(CH ₃) ₂	F ₃ C	81~ 82°C
a-237	c1 ———	-(CH ₂) ₃ CH ₃	F,C O	Oily
a-238	c1 ———	-CH2CH2F	F ₃ C	Oily

Table I-a (Continued)

Comp.	(R ₃) _m	R 1	(R ₂),	Physical Property (Melting point)
a-239	c1	-CH ₂ CF ₃	F, C O	Oily
a-240	c1-©-	-CH2CH=CH2	F ₃ C	Oily
a-241	c1	-CH ₂ C(C1)=CH ₂	F ₃ C	
a-242	C1 ———	-CH2C(C1)=CHC1	F,C	
a-243	c1—(©)—	-CH₂C=CH	F, C	
a-244	c1————	-COCH2OCH3	F ₃ C	Oily
a-245	c1————	-COSCH₂CH₃	F,C 0	Oily
a-246	c1————	-COCH2CH=CH2	F ₃ C	
a-247	c1————	-COCH2C(C1)=CH2	F ₃ C	
a-248	cı ————	-COCH₂C=CH	F ₃ C	
a-249	C1 ———	-COCH₂C≡CCI	F,C O	
a-250	C1	-CO₂CH₃ 	F ₃ C	60~ 63℃

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-251	c1-O-	-CO2CH2CH3	F,C O	Oily
a-252	C1 ——○>—	-CO ₂ CH ₂ CF ₃	F, C O	
a-253	C1 ——○}—	-CONH(CH ₂) ₂ CH ₃	F,C O	
a-254	c1———	-CON(CH ₃) ₂	F, C O	58~ 61℃
a-255	c1	-co- ()	F, C	135~ 138℃
a-256	c1	-co- <u>C</u> 0	F,C	109~ 111℃
a-257	c1———	-co-O	F3C	Oily
a-258	c1-(-)-	-co-O-c1	F,C (106~ 109℃
a-259	c1 ———	- co - cı	F. C O	
a-260	¢1	-00-CO	F ₃ C	123~ 124℃
a-261	cı ———	— со — сн.	F ₃ C O	124~ 127℃
a-262	cı ————	- co - OCH 3	F3C 0	110∼ 113℃

Table I-a (Continued)

Comp.	(R ₃) _m	R ₁	(R ₂),	Physical Property (Melting point)
a-263	c1 ← ○}	-C02-CO	F ₃ C	Oily
a-264	C1 ——○>—	-co2-O-NO2	F, C	
a-265	c1 - ⟨○}	-CO ₂ SO ₂ CH ₃	F,C	
a-266	c1- ⊘	-cos-(O)	F ₃ C	
a-267	c1 ———	- COCH 2-CO	F,C 0	85~ 87℃
a-268	c1 — 🛇 —	-COCH2	F ₃ C	
a-269	c1-(-)-	-COCH ₂	F ₃ C O	
a-270	c1-(-)-	- COSCH ₂ -O.	F ₃ C O	
a-271	c1-\(\rightarrow\)	-con	F ₃ C	
a-272	c1———	-con	F ₃ C	
a-273	c1-\(\oscilon \)	-con_o	F ₃ C	
a-274	c1	-SCH ₃	F ₃ C	Oily

Table I-a (Continued)

Comp.	(R ₃) _m	Rı	(R ₂),	Physical Property (Melting point)
a-275	c1 ———	-SOCH ₃	F ₃ C	
a-276	c1	-SOCH ₂ CH ₃	F3C	
a-277	c1 ———	-SO ₂ CH ₃	H ₃ CO	153.9 ℃
a-278	C1 ———	-SO₂CH₃	F, CO	Oily
a-279	c1—⊙—	-S0 ₂ CH ₂ OCH ₃	F3C	
a-280	c1————	-SO ₂ CH ₂ C(C1)=CH ₂	P3C	
a-281	c1————	-SO₂CH₂C≡CCI	F ₃ C	
a-282	c1-©-	-SO2OCH2CH3	F ₃ C	98~ 101℃
a-283	c1-\(\oscilon \)	-SO ₂ SCH ₂ CH ₃	F,C O	
a-284	c1 ———	-SO ₂ NH(CH ₂) ₂ CH ₃	F ₃ C	
a-285	c1————	-SO ₂ NHC(CH ₃) ₃	F ₃ C	
a-286	c1————	-SO ₂ N(CH ₂ CH ₃) ₂	F3C	Oily

Table I-a (Continued)

				
Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-287	c1———	-SO ₂ N(CH ₂ CH ₃) ₂	H ₃ COS	
a-288	c1-©-	-SO ₂ N-(CH ₂) ₂ CH ₃ (CH ₂) ₂ CH ₃	F ₃ C	Oily
a-289	c1	-SO ₂ N-(CH ₂) ₃ CH ₃ (CH ₂) ₃ CH ₃	F, C O	
a-290	c1	-502-	F ₃ C	151~ 155℃
a-291	c1———	-SO ₂	F, C	152~ 156℃
a-292	c1 ———	-5020-	F. C	
a-293	C1 ———	-S020-CH3	F3C	
a-294	c1—⊙—	- \$0,2 \$-{\bigcirc}	F, C	
a-295	c1 <i>—</i> ⊘—	- SO 2 CH 2-	F ₃ C	
a-296	c1	- SO ₂ CH ₂ ()-F	F ₃ C	
a-297	c1————	-SO ₂ CH ₂ OCH ₃	F,C O	
a-298	c1 ———	-SO ₂ N	F,C O	164∼ 167℃

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Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-299	c1- -	-S0 ₂ N	F ₃ C	Oily
a-300	c1———	-S0 ₂ N 0	F.C (C)	80~ 82°C
a-301	C1	-CSCH ₃	F ₃ C	
a-302	C1 ─ ○	-CSCH ₂ CH ₃	F ₃ C	
a-303	c1—⊘—	-CSOCH ₃	F,C	Oily
a-304	C1—◆◆	-CSOCH₂CH₃	F ₃ C	Oily
a-305	c1-(-(-)-	-CS₂CH₃	F,C (п _р зя. 4 1. 5930
a-306	c1	-CS2CH2CH3	F3C O	п _р ^{27. 2} 1. 5612
a-307	c1—(O)—	-CS2CH(CH3)2	F,C	пь ^{33.8} 1.5824
a-308	cı ———	-CS ₂ (CH ₂) ₃ CH ₃	F,C O	80.3 ℃
a-309	C1-O-	-CS2CH2CF3	F ₃ C	
a-310	c1	-CS2CH2CH=CH2	F,C O	n _D ^{2 5. 8} 1.6040

Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-311	c1 ———	-CS2CH2CH=CCI2	F,C O	
a-312	C1 ———	-CS ₂ CH ₂ C≡CH	F, C O	
a-313	C1 ———	-CS2CH2C=CI	F ₃ C	
a-314	¢1	-CS ₂ —H	F, C	
a-315	c1————	-CSNHC(CH ₃) ₃	F ₃ C	
a-316	c1 ————	-CSN(CH ₃) ₂	F, C	137.9 ℃
a-317	C1 ———	-CSN(CH2CH3)z	F3C	121~ 123℃
a-318	c1 ———	-cs- ()	F, C	Oily
a-319	c1-(-)-	-cso- ()	F ₃ C	Oily
a-320	c1 ———	-cso	F,C	
a-321	C1 ———	-cso	F,C O	
a-322	C1	- CS ₂	F ₃ C	113.8 °C

Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-323	C1 ─ ○──	-CS ₂	F,C 0	n _D ^{3 9} . 4 1. 6204
a-324	C1 ——○>—	-CS2-(C)-CH3	F, C 0	
a-325	c1⊘-	-CS2CH2-(C)	F, C 0	71.9 ℃
a-326	c1	-CS2CH2-C)-C1	F3C 10	
a-327	c1————	-CS2CH2 CH3	F3C 10	
a-328	c1 — 🛇 —	-csn	F3C 💢	129.6 ℃
a-329	c1 ————	-csn_o	F.C O	125.8 ℃
a-330	c1 ———	-CH₂CN .	F ₃ C	Oily
a-331	c1 ———	-CH₂-⟨○⟩	F ₃ C	114~ 116℃
a-332	c1-\(\rightarrow\)	- CH ₂ -	F,C O	74~ 75℃
a-333	c1-\(\bigcirc \)	- CH ₂ -C1	F, C	Oily
a-334	c1-\(\rightarrow\)	— CH 2 — CI	F,C	123~ 127℃

Table I-a (Continued)

Comp.	(R ₃) _m	R 1	(R ₂),	Physical Property (Melting point)
a-335	c1-\(\rightarrow\)-	- CH ₂ - C)	F ₃ C	93~ 94°C
a-336	c1	— CH ₂ — F	F, C O	109~ 111℃
a-337	c1 ———	- CH ₂	F ₃ C	90~ 91°C
a-338	c1 — 🗇 —	— CH ₂ — Br	F, C	140~ 141℃
a-339	C1 ——⊙—	— CH ₂ — CH ₃	F, C	136~ 137℃
a-340	C1 ———	— CH 2 — CF 3	F ₃ C	98~ 100℃
a-341	c1 - ⊘	— CH ₂ — NO ₂	F, C	125~ 130℃
a-342	c1— ⊘ —	- CH₂OCH₂-⟨○⟩ .	F, C	Oily
a-343	c1-(-(-)-	-CH2OCH2 CH3	F, C O	
a-344	c1 ———	- CH2CO-()	F3C	105~ 110℃
a-345	c1 ———	-CH2CO	F,C O	
a-346	cı ———	-CH2CO	F ₃ C	

Table I-a (Continued)

Comp.	(R ₃) _m	R ₁	(R ₂) ₁	Physical Property (Melting point)
a-347	c1———	-CH2CO	F ₃ C	
a-348	c1	-CH₂-⟨O⟩	F ₃ C	Oily
a-349	C1 ———	- C H 2 - N	F, C	
a-350	C1 ———	$-CH_2 - CF_3$	F ₃ C	
a-351	c1 - ⟨○}	-CH₂COCH₃	F3C	
a-352	c1 <i>—</i> ⊘—	-CH₂SOCH₃	F, C	
a-353	c1————	-CH ₂ Si(CH ₃) ₃	F3C O	Oily
a-354	F-\(\bigcirc \)	-CH ₂ C=C1	F ₃ C	
a-355	F	-cos-(H)	F ₃ C	
a-356	F ———	-SOCH₃	F ₃ C	
a-357	F ———	-SO₂CH₂C=CH	F ₃ C	
a-358	F	-SO ₂ N(CH ₃) ₂	H,CS O	

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-359	F	-SO ₂ N(CH ₂ CH ₃) ₂	F ₃ C	44~ 45℃
a-360	F	-SO ₂ N-(CH ₂) ₂ CH ₃ (CH ₂) ₂ CH ₃	F, C	58∼ 59℃
a-361	F	-\$0 ₂	F ₃ C	
a-362	F ———	-CS2CH2CH3	F, C	ль ^{з 1. 0} 1. 5762
a-363	F ———	-CSN(CH ₃) ₂	F3C 🔘	98~ 100℃
a-364	F	-CSN(CH₃)CH₂CH₃	F ₃ C	·
a-365	F	-csn	F,C	127.9 ℃
a-366	F	-csn	F ₃ C	
a-367	F	-CH2-()	F ₃ C	101∼ 104℃
a-368	Br ─ ◯─	-CH ₂ CH ₃	F,C O	Oily
a-369	Br———	-co	F ₃ C	
a-370	8 r — 🔘 —	-502	F ₃ C	

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-371	Br — ()—	-SO ₂ N(CH ₂ CH ₃) ₂	F. C O	71~ 73°C
a-372	Br ─ ○ ├	-SO ₂ N-(CH ₂) ₂ CH ₃ (CH ₂) ₂ CH ₃	F ₃ C	65~ 66℃
a-373	B r ─ ◯─	-S0 2 N	F, C	173∼ 176℃
a-374	B r ——○>—	-CSCH2OCH2CH3	F, C	
a-375	Br ——◯>—	-CS₂CH₂CH₃	F, C	102.4 ℃
a-376	Br ─ ◆	-CSN(CH ₃) ₂	F ₃ C	124~ 128℃
a-377	Br ─ ◯─	-CSN(CH ₃) ₂	O-CH,	
a-378	Br ─ ◯─	-CSCH ₂	F, C O	
a-379	Br ─ ◯─	-CS2CH2-O	F,C O	
a-380	B r → ○>—	-CS2CH2-CN	F,C O	
a-381	B r ─ ◯─	-csn	F,C 0	136. 2 ℃
a-382	Br ——○>—	- CH ₂ -	F, C	Oily

Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-383	1	-COSCH ₂ CF ₃	F,C O	
a-384	I —⊘—	-SO ₂ N(CH ₂ CH ₃) ₂	F, C	Oily
a-385	1	-SO ₂ SCH ₂ -	F,C	
a-386	1	-S02N	F, C	154∼ 155℃
a-387	I ————	-CS₂CH₃	F,C	130.2 ℃
a-388	1 ———	-CSN(CH ₃) ₂	F ₃ C	141~ 144℃
a-389	1	-CH ₂ -()	F3C O	
a-390	c1	-CH2OCH3	F3C	Z-isomer 68∼ 70°C
a-391	c1-O-c1	-CH2SCH3	F ₃ C	Z-isomer 113~ 118℃
a-392	c1-O-c1	-COCH₂OCH₃	F,C	Oily
a-393	c1	-COSCH2CH=CH2	F ₃ C	
a-394	c1	-CO ₂ CH ₃	F ₃ C	Oily

Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-395	C1 — C1	-CO2CH2CH3	F ₃ C	Oily
a-396	C1 - C1	-co-(C)-cn	F ₃ C	
a-397	c1	-co SO2CH3	F ₃ C	
a-398	c1	-CO ₂ -()	F ₃ C	Oily
a-399	c1	-SO ₂ (CH ₂) ₃ CH ₃	F3C 0	Z-isomer Oily
a-400	c1-©	-SO ₂ SCH ₂ CH=CH ₂	F3C O	
a-401	c1 — C1	-SO ₂ NH(CH ₂) ₂ CH ₃	F ₃ C	
a-402	c1 — C1	-SO ₂ NHC(CH ₃) ₃	F. C	
a-403	c1	-SO ₂ N(CH ₂ CH ₃) ₂	F ₃ C	124∼ 125℃
a-404	c1-O-c1	-SO ₂ N-(CH ₂) ₂ CH ₃ (CH ₂) ₂ CH ₃	F ₃ C	105∼ 107℃
a-405	c1	-SO ₂ N-(CH ₂) ₃ CH ₃ (CH ₂) ₃ CH ₃	F ₃ C	
a-406	c1 - C1	-502-(CF3	F,C	

Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-407	c1-O-c1	-S0 2 N	F,C 0	120~ 121℃
a-408	cı — © Cı	-SO ₂ N	F,C 0	
a-409	cı—O—cı	-S0 ₂ N 0	F,C	
a-410	CI-O-CI	-CSCH ₃	F ₃ C	
a-411	c1	-CSCH ₂ CH ₃	F, C	
a-412	c1	-CSOCH ₃	F ₃ C	
a-413	c1	-CSOCH₂CH₃	F 3 C	Oily
a-414	c1-O-c1	-CS₂CH₃	F ₃ C O	пь ^{зэ. в} 1.5998
a-415	c1 - © _ c1	-CS2CH2CH3	0 0 CH3	
a-416	c1 — ©	-CSCH ₂ C(C1)=CHC1	F ₃ C	
a-417	c1-O-c1	-CSN(CH ₃) ₂	F3C 🛈	97~ 98℃
a-418	c1	-CSN(CH2CH3)2	F ₃ C O	Oily

Table I-a (Continued)

	 			
Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-419	c1	-cso- ()	F,C 0	
a-420	c1-O-c1	-CH ₂	F,C O	
a-421	c1-O-c1	- CH 2 OCH 2-	F ₃ C	Oily
a-422	c1-O-c1	-CH2CO2CH3	F ₃ C	77~ 80℃
a-423	c1-O-c1	-CH ₂ SO ₂ CH ₃	F ₃ C	Z-isomer 161~ 163°C
a-424	cı—O—cı	-CH2SO2CH3	F, C	E-isomer 175~ 178°C
a-425	F-O-F	-CS₂CH₃	F ₃ C	п _в ^{2 б. 8} 1. 5680
a-426	F	-CSN(CH ₃) ₂	F, C	109.2 ℃
a-427	F	-csn	F ₃ C	139.1 ℃
a-428	c1-O-F	-SO ₂ CH ₂ CH(CH ₃) ₂	F ₃ C	Oily
a-429	c1-O-F	-SO ₂ N(CH ₃) ₂	F ₃ C O	64∼ 68℃
a-430	cı—O_F	-SO ₂ N(CH ₂ CH ₃) ₂	F ₃ C	95∼ 98℃

Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R,),	Physical Property (Melting point)
a-431	C1 — O F	-CSN(CH ₃) ₂	F ₃ C	87~ 90℃
a-432	(H ₃ C) ₃ C —————	-COSCH2CH=CCl2	F ₃ C	
a-433	(H ₃ C) ₃ C —————	-SO ₂ SCH ₂ CH=CCl ₂	F, C	
a-434	(H ₃ C) ₃ C — —	-SO ₂ N(CH ₃) ₂	F, C	78~ 80℃
a-435	(H ₃ C) ₃ C ————	-CSN(CH ₃) ₂	P3C 0	105~ 107℃
a-436	F 3 C	-COSCH₂C=CH	F3C 0	
a-437	F 3 C	-COSCH ₂ C=CI	F ₃ C	
a-438	F3CO ————	-COCH ₃	F ₃ C	
a-439	H₃COS ————	-SO ₂ CH ₂ CH ₃	F ₃ C	
a-440	⊘ - ⊘ -	-SO₂CH₂CH₃	F ₃ C	Oily
a-441		-CS2CH2CH3	F3C	
a-442	H,C O O	-CSN(CH ₃) ₂	F,C O	

Table I-a (Continued)

Comp.	(R ₃) _m	Rı	(R ₂) ₁	Physical Property (Melting point)
a-443	c1-©-	-COSCH ₃	F,C	Oily
a-444	c1—⊘—	-co-(O)	F,C	
a-445	c1 <i>—</i> ⊘—	-co-(O)	F,C	Oily
a-446	c1————	-co-ON	F, C	
a-447	c1————	-co-(D)-cF3	F ₃ C	
a-448	c1	-SO ₂ -(O)	F ₃ C	
a-449	c1————	-50 ₂	F ₃ C	
a-450	c1————	-50 ₂ -ON	F ₃ C O	
a-451	c1-\(\operatorname{\operatorn	-CS ₂ (CH ₂) ₂ CH ₃	F3C O	71.9 °C
a-452	c1-\(\rightarrow\)	-CS2CH2CO2CH3	F, C O	пь ^{26.6} 1.5825
a-453	c1———	-CS2CH2CO2CH2CH3	F,C O	
a-454	F	-CS₂CH₃	F. C O	

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-455	F ———	-CS2CH2CO2CH3	F ₃ C	
a-456	Br - - ○ }	-S0 ₂ N	F ₃ C	100∼ 101℃
a-457	B r⟨○}	-CS2CH3	F ₃ C	
a-458	Br ─ ○─	-CS2CH2CO2CH3	F ₃ C	
a-459	F-O-F	-SO ₂ N(CH ₃) ₂	F ₃ C	115~ 118℃
a-460	F	-SO ₂ N(CH ₂ CH ₃) ₂	F,C 0	Oily
a-461	C1 - ⟨○ F	-CS₂CH₃	F ₃ C O	пъ ^{26.2} 1.5364
a-462	c1———	-co-CH3.	F ₃ C	Oily
a-463	c1————	-co-(CH3	F ₃ C	72~ 74°C
a-464	c1 ———	H ₃ C C	F ₃ C	152∼ 155℃
a-465	¢1 - ⟨○}	H3C CH3	F ₃ C O	110∼ 113℃
a-466	c1- -	-CO-€ CH2CH3	F ₃ C	104∼ 106℃

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-467	c1	-co-CO	F ₃ C	99~ 101℃
a-468	C1 ——⊙—	-COS(CH ₂) ₂ CH ₃	F, C	
a-469	c1 - ⊘−	-conhch,	F ₃ C	Oily
a-470	c1	-SO ₂ N(CH ₃)CH ₂ CH ₃	F ₃ C O	98~ 100℃
a-471	c1{(-)-	-SO ₂ N-(CH ₂) ₂ CH ₃ CH ₃	F, C O	55∼ 57℃
a-472	c1	-s-(0)	F. C O	Oily
a-473	c1 ———	-\$0-	F3C	188~ 191℃
a-474	c1————	-80013	F ₃ C	Oily
a-475	c1———	-SN(CH ₃) ₂	F ₃ C O	Oily
a-476	c1-\(\rightarrow\)	-SN(CH2CH3)2	F,C	
a-477	CI ———	-SN	F ₃ C	
a-478	c1————	- SCH 2 CH 3 	F, C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-479	c1	-S(CH ₂) ₂ CH ₃	F, C O	
a-480	c1———	-SCH(CH ₃) ₂	F3C 0	
a-481	c1- -	-SC(CH ₃) ₃	F, C O	
a-482	C1 ———	-SCH₂C1	F,C	
a-483	c1— ⊘ —	-SCHC1 ₂	F, C	
a-484	c1— ⊘ —	-SCH₂OCH₃	F,C O	
a-485	c1{()-	-SCH₂SCH₃	F3C	
a-486	c1————	-SCH2N(CH3)2	F ₃ C	
a-487	C1 —⟨○ 〉 —	-S ₂ CH ₃	F,C O	
a-488	C1 ———	-S2CH2CH3	F ₃ C	Oily
a-489	C1 ———	-S ₂ CH(CH ₃) ₂	F,C O	
a-490	C1	-S ₂ C(CH ₃) ₃	F,C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-491	c1	-SN(CH ₃)OCH ₃	F ₃ C 0	
a-492	c1-©-	-SN(CH2CH3)OCH3	F3C	
a-493	c1	-82-(0)	F, C	
a-494	c1 ———	-S ₂ C)-CI	F, C	
a-495	c1———	-S2-CH3	F ₃ C	
a-496	C1 ———	-S ₂ CF ₃	F ₃ C O	
a-497	c1-(-)-	-S ₂ -OCH ₃	F ₃ C	
a-498	¢1⟨ ○ }	-SO₂N(CH₃)OCH₃	F ₃ C	
a-499	c1(-)-	-SO ₂ N- OCH ₃ CH ₂ CH ₃	F ₃ C	
a-500	c1 ————	-SO ₂ CH ₂ N(CH ₃) ₂	F ₃ C	
a-501	c1 ———	-SO ₂ (CH ₂) ₂ N-CH ₃ CH ₃	F3C 0	
a-502	c1-{\(\oplus\)}-	-SO ₂ (CH ₂) ₂ OCH ₃	F 3 C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-503	c1(-)-	-SO ₂ CH ₂ SCH ₃	F,C 0	
a-504	c1 ———	-SO ₂ (CH ₂) ₂ SCH ₃	F,C O	
a-505	c1	-\$02-	F,C	
a-506	C1 ——⊙—	-S02N N	F, C	
a-507	C1 ———	-S02N/N	F ₃ C	
a-508	c1	-SO ₂ - O	F ₃ C O	
a-509	c1————	-50 ₂ - S	F ₃ C	
a-510	c1(-)-	-50 ₂ -0	F3C	
a-511	c1-(-)-	-50 ₂ -\s\	F ₃ C	
a-512	c1-(-)-	-SO ₂ -N	F ₃ C	
a-513	c1————	-S0 ₂ -SN	F ₃ C	
a-514	C1 ————	$-so_2 \leftarrow \stackrel{N}{\bigcirc}$	F ₃ C O	

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-515	c1	$-50_2 - \langle \bigcirc \rangle$	F ₃ C	
a-516	c1-O-	$-50_2 - \langle \bigcirc_{N}^{N} \rangle$	F ₃ C	
a-517	C1 — 🗇 —	$-so_2 - N$	F, C O	
a-518	c1	-SON(CH ₃) ₂	F, C O	
a-519	c1 <i>—</i> ⊘—	-SON(CH2CH3)2	F ₃ C	
a-520	c1	-SON(CH ₃)OCH ₃	F ₃ C	
a-521	c1————	-SON(CH₂CH₃)OCH₃	Fac	
a-522	c1 —⊘—	-S(=0)0CH ₃	F ₃ C O	
a-523	c1————	-S(=O)OCH₂CH₃	F ₃ C	
a-524	c1-\(\operatorname{\operatorn	-S(=0)SCH ₃	F,C O	
a-525	c1-\(\rightarrow\)	-S(=0)SCH₂CH₃	F, C O	
a-526	c1(-)-	-co C(CH3)3	F, C O	118~ 120℃

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Table I-a (Continued)

Comp.	(R ₃) _m	Rı	(R ₂),	Physical Property (Melting point)
a-527	c1	-co-(C)-cF ₃	F, C 0	
a-528	c1———	-COCH ₂ SOCH ₃	F ₃ C	
a-529	C1 ─ ○ ├	-COCH ₂ SO ₂ CH ₃	F. C 10	
a-530	c1 ——⊙—	-CO(CH ₂) ₂ NH ₂	F, C	
a-531	c1—∕⊙}—	-COCH2NHCH3	F3C	
a-532	¢1⊘-	-COCH ₂ N(CH ₃) ₂	F, C O	
a-533	c1————	-CO2CH2-()	F, C O	
a-534	c1————	-CO ₂ CH ₂ SO ₂ CF ₃	F,C O	
a-535	c1-\(\rightarrow\)	-c0-CO	F ₃ C	123~ 124℃
a-536	c1-\(\rightarrow\)	-CO CH(CH ₃) ₂	F ₃ C	125~ 128℃
a-537	c1 ———	-CO_OCH(CH ₃) ₂	F, C	28~ 30°C
a-538	c1-\(\rightarrow\)	-co-<->-sch ₃	F,C O	

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-539	c1 ———	-co	F ₃ C O	
a-540	c1	-CO N(CH ₃) ₂	F,C	170∼ 175℃
a-541	C1 ———	(CH ₃) ₂ N -CO-	F.3 C	
a-542	c1 - ⊘−	-CSOCH(CH ₃) ₂	F3C 0	
a-543	¢1	-CSOC(CH ₃) ₃	F3C 🔘	
a-544	c1(-)-	-CSOCH ₂ CF ₃	F3C	
a-545	C1 - (C)-	-CSOCH2CH=CH2	F ₃ C	
a-546	c1 ———	-CSOCH₂C≡CH .	F3C 0	
a-547	c1 ———	-CSOCH ₂ CH=CCl ₂	F ₃ C	
a-548	C1 ———	-CSOCH2C≡C1	F ₃ C	
a-549	C1 — (C)—	-cso-	F ₃ C	
a-550	c1	-CSOCH ₂	F, C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R _I	(R ₂),	Physical Property (Melting point)
a-551	c1	-CSOCH ₂	F, C	
a-552	c1 ———	-CSOCH ₂ OCF ₃	F ₃ C	
a-553	c1	-CSOCH ₂	F3C	
a-554	c1	-CSO-CF3	F ₃ C	
a-555	c1————	-CSO-O-SCH3	F, C	
a-556	c1————	-CSO C(CH ₃) ₃	F3C O	·
a-557	c1————	-CSO-CH3	F ₃ C	
a-558	c1 ———	-CH2S-(O)	F,C O	
a-559	c1 ———	-CH ₂ SO-	F ₃ C	
a-560	c1-\(\oscilon \)	-CH ₂ SO ₂	F ₃ C	
a-561	c1-\(\rightarrow\)	-(CH ₂) ₂ -	F,C O	
a-562	¢1-∕⊙∕-	-CH ₂ O-	F ₃ C	

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Table I-a (Continued)

Comp.	(R ₃) _m	Rı	(R ₂),	Physical Property (Melting point)
a-563	C1 ——○>—	-CH2SCH2-O	F,C 0	
a-564	c1⊘	-CH₂CSCH₃	F ₃ C	
a-565	C1 —◆	-CH2CSOCH3	F ₃ C	
a-566	C1 — ⊘ —	-CH2S-C1	F ₃ C	
a-567	c1————	-(CH ₂) ₂ CF ₃	F,C	
a-568	c1 — (C)—	-CO ₂ -	F3C 0	
a-569	c1 ————	-co ₂ -(S)	F, C O	
a-570	c1(C)	-CO ₂ -\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	F 3 C	
a-571	c1 ———	-CO ₂	F ₃ C	
a-572	C1 ———	-co ₂	F3C O	
a-573	c1-\(\bigcirc \)	-CS ₂ -(O)	F ₃ C	E-isomer 116.1 °C
a-574	c1	-cs ₂ -()	F, C	Z-isomer N _D 39.2 1.5716

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Table I-a (Continued)

Comp.	(R ₃) _m	R ₁	(R ₂),	Physical Property (Melting point)
a-575	c1	-cs-(D)	F, C	
a-576	C1 ——○>—	-cs-(D)-cf ₃	F, C	
a-577	C1 ———	-cs-	F ₃ C	
a-578	c1———	-cs-Co	F ₃ C O	
a-579	¢1⊘	-cs-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	F, C O	
a-580	c1-\(\bigcirc \)	H ₃ C N -CS N-CH ₃	F ₃ C O	
a-581	c1-\(\bigcirc \)	-CH ₂ -\O	F ₃ C	
a-582	c1———	-CH ₂ -C)-C1.	F,C O	
a-583	c1	-CH ₂ -S	F,C O	
a-584	c1 — 🛇 —	-CH ₂ -0	F, C	
a-585	C1 ———	-CH ₂ - CH ₂	F,C O	
a-586	C1	H ₃ C-N -CH ₂ - N	F ₃ C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R2),	Physical Property (Melting point)
a-587	c1	-CS ₂ (CH ₂) ₂ NCH ₃ CH ₃	F,C	
a-588	c1———	-CS ₂ (CH ₂) ₂ SCH ₃	F 3 C	
a-589	C1 ——⊙—	-CS ₂ (CH ₂) ₂ S CH ₂ CH ₃	F, C	
a-590	c1— <u></u> ─	-CS ₂ (CH ₂) ₂ CN	F3C	
a-591	c1 - ⊘−	-CS ₂ (CH ₂) ₂ NO ₂	F3C 🛈	
a-592	c1 — 🛇 —	-CS ₂ (CH ₂) ₂ OCHF ₂	F, C	
a-593	c1 ———	-CS ₂ CCl ₃	F ₃ C	
a-594	c1 ———	-CS ₂ -NH ·	F ₃ C	
a-595	c1 ———	-cs ₂ -(N)	F ₃ C O	
a-596	c1 — 🛇 —	-CS ₂	F ₃ C	
a-597	c1-\(\rightarrow\)	-CS ₂ -S	F ₃ C	
a-598	c1(-)-	H ₃ C N -CS ₂ N-CH ₃	F ₃ C	

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Table I-a (Continued)

G	(R ₃) _m			Physical
Comp.	(K)) (C)	R,	(R ₂),	Property (Melting point)
a-599	c1	-coch₃	F ₂ HC O	
a-600	c1(-)-	-COCH2CH3	F ₂ HC O	
a-601	C1 — 🛇 —	-COCH(CH₃)₂	F ₂ HC	
a-602	C1 ——○>—	-COC(CH3)3	F ₂ HC O	
a-603	C1 ———	-SO₂CH₃	F ₂ HC O	
a-604	c1 - ⊘−	-SO ₂ CH ₂ CH ₃	F ₂ HC O	
a-605	c1	-SO ₂ N(CH ₃) ₂	F ₂ HC	
a-606	c1 — 🗇 —	-SO ₂ N(CH ₂ CH ₃) ₂	F ₂ HC O	
a-607	cı ————	-SO2NCH2CH3 CH3	F ₂ HC	
a-608	c1 ———	-CO ₂ CH ₃	F ₂ HC	
a-609	c1-\(\rightarrow\)	-CO2CH2CH3	F ₂ HC	
a-610	CI(O)	-co- ()	FaHC	

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Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-611	c1	-CSOCH ₃	F ₂ HC O	
a-612	c1 ⊘−	-CSOCH2CH3	F ₂ HC O	-
a-613	¢1⟨◯⟩	-cso- ()	F ₂ HC O	
a-614	c1 ————	-CSN(CH ₃) ₂	F ₂ HC O	
a-615	c1	-CS2CH3	F ₂ HC O	
a-616	c1{\omega}-	-CS2CH2CH3	F ₂ HC O	
a-617	c1-(-)-	-CS2CH2CH=CH2	F ₂ HC O	
a-618	c1{(O)	-CSN(CH ₃) ₂	FH ₂ C	
a-619	c1-(O)-	-CS2CH3	FH ₂ C	
a-620	c1-\(\oscilon \)	-CS2CH2CH3	FH ₂ C	
a-621	C1	-CS2CH2CH=CH2	FH ₂ C	
a-622	C1 ———	-SO ₂ N(CH ₃) ₂	FH ₂ C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-623	c1(-)-	-SO ₂ N(CH ₂ CH ₃) ₂	FH ₂ C	
a-624	c1 ———	-SO2NCH2CH3 CH3	FH ₂ C	
a-625	C1	- COCH 3	F ₃ C O	
a-626	c1 ———	-COCH(CH ₃) ₂	Pac OCH3	
a-627	C1 ———	-COC(CH ₃) ₃	F ₃ C SCH ₃	
a-628	c1———	-SO ₂ CH ₃	\$02CH3	
a-629	c1(-)-	-SO ₂ CH ₂ CH ₃	ÇF ₃	
a-630	c1	-SO ₂ N(CH ₃) ₂	F, C (F)	
a-631	c1(-)-	-SO ₂ N(CH ₂ CH ₃) ₂	F ₃ C	
a-632	c1 ———	-SO2NCH2CH3 CH3	F ₃ C \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	
a-633	cı ———	-SO ₂ N(CH ₃) ₂	F 3 C F	
a-634	C1 — (O)—	-SO ₂ N(CH ₃) ₂	F ₃ C CF ₃	

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Table I-a (Continued)

Comp.	(R ₃) _m	R _I	(R ₂)1	Physical Property (Melting point)
a-635	C1 ——○>—	-SO ₂ N(CH ₃) ₂	F ₃ C O	
a-636	c1 — 🔾 —	-SO ₂ N(CH ₃) ₂	F ₃ C O	
a-637	F	-COSCH ₃	F ₃ C	Oily
a-638	F	-COSCH₂CH₃	F.3 C	Oily .
a-639	F ─ ◆○}	-SO ₂ N	F, C	107~ 110℃
a-640	F	-S0 ₂ N	F ₃ C	83~ 84℃
a-641	Br⟨○}	-SO2NCH2CH3 CH3	F ₃ C	110~ 111℃
a-642	F ———	-coch,	CH=CC12	
a-643	c1- \(\)	-COCH₂CH₃	SCF.	
a-644	B r ─ ◯─	-COCH(CH ₃) ₂	SOCF3	
a-645	I ———	-COC(CH ₃) ₃	SO ₂ CF ₃	
a-646	F — F	-SO₂CH₃ 	O_ c≡c1	

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Table I-a (Continued)

Comp.	(R ₃) _m	R ,	(R ₂),	Physical Property (Melting point)
a-647	cı — Ç	-SO ₂ CH ₂ CH ₃	©_s-©-c1	
a-648	c1-O-c1	-SO ₂ N(CH ₃) ₂	©_50-(⊙-C1	
a-649	(H ₃ C) ₃ C ————	-SO ₂ N(CH ₂ CH ₃) ₂	\$0 ₂ -\$0-¢1	
a-650	F	-SO2NCH2CH3 CH3	OCH2C=C1	
a-651	c1	-SO ₂ N	OCH 2 CH = CCI 2	
a-652	Br ———	-CO ₂ CH ₃	SCH2CH=CC12	
a-653	1	-CO2CH2CH3	SCH2 C≡CI	
a-654	F	-co- -	SOCH 2 CH = CC1 2	
a-655	C1 — ○ F	-co- - CH ₃	SO2CH2CH=CCI2	
a-656	c1	-csoch₃	SOCH 2 C≡ CI	
a-657	(H ₃ C) ₃ C — (O)—	-CSOCH₂CH₃	SO2CH2C≡CI	
a-658	F-\(\)	-cso- ()	OCH2-O-CI	

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Table I-a (Continued)

				
Comp.	(R ₃) _m	R,	(R,),	Physical Property (Melting point)
a-659	c1 ———	-CSN(CH ₃) ₂	SCH2-O-CI	
a-660	Br	-CS2CH3	©_co-©-c1	
a-661	I ———	-CS2CH2CH3	CH ₂ -C	
a-662	F-O-F	-SO ₂ N(CH ₂) ₂ CH ₃ (CH ₂) ₂ CH ₃	F ₃ C	57∼ 58℃
a-663	F	-S02N	F,C	119~ 120℃
a-664	c1	-SO2NCH2CH3 CH3	F, C	130~ 132℃
a-665	○ -0 -○ -	-CS₂CH₃	F3C	пь ^{зэ. 2} 1.5922
a-666	SCHF ₂	-CS₂CH₃	F3C 🔘	E-isomer 79.2℃
a-667	SCHF ₂	-CS2CH3	F ₂ C O	Z-isomer No ^{18.6} 1.6004
a-668	OCHF ₂	-CS2CH3	F ₃ C O	n _D ^{38.8} 1.5062
a-669	CI — CH3	-SO ₂ CH ₃	F,C O	
a-670	· C I — CH 3	-SO ₂ CH ₂ CH ₃	F ₃ C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-671	CI — CH3	-SO ₂ N(CH ₃) ₂	F3C 0	
a-672	CI - CH3	-SO ₂ N(CH ₂ CH ₃) ₂	F, C	
a-673	C1 — CH3	-SO2NCH2CH3 CH3	F ₃ C	
a-674	CI — ○ CH₃	-SCH ₃	F3.C	
a-675	CI — CH3	-SCH₂CH₃	F3C	
a-676	C1 - CH3	-SCC1 ₃	F ₃ C	
a-677	C1 — CH3	-\$-	F. C O	
a-678	C1 - CH3	-SN(CH ₃) ₂	F, C O	
a-679	C1 - CH3	-SN(CH2CH3)2	F,C O	
a-680	(H3C)3C ———	-CSOCH₃	F ₃ C	Oily
a-681	(H ₃ C) ₃ C — O—	-CSOCH2CH3	F3C 0	Oily
a-682	(H,C),C———	-cso- ()	F ₃ C	Oily

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Table I-a (Continued)

Comp.	(R ₃) _m	R i	(R ₂),	Physical Property (Melting point)
a-683	(H ₃ C) ₃ C ————	-COSCH ₃	F, C	Oily
a-684	(H ₃ C) ₃ C ———	-COSCH₂CH₃	F,C	116~ 117℃
a-685	(H ₃ C) ₃ C ————	-cs- (()	F ₃ C	
a-686	(H ₃ C) ₃ C ————	-CS₂CH₃	F ₃ C	п _ь з г. в 1. 5746
a-687	(H ₃ C) ₃ C ————	-SCH₃	F ₃ C	
a-688	(H ₃ C) ₃ C —————	-SCH₂CH₃	F ₃ C	
a-689	(H3C)3C-O-	-SCC13	F, C O	
a-690	(H ₃ C) ₃ C ————	-SN(CH ₃) ₂	F,C O	
a-691	(H ₃ C) ₃ C — (O)—	-SN(CH2CH3)2	F ₃ C	-
a-692	CH=CCI ₂	-CS₂CH₃	F ₃ C	103.6℃
a-693	CH=CF ₂	-CS₂CH₃	F ₃ C O	
a-694	SCF ₃	-CS₂CH₃	F3C 10	

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Table I-a (Continued)

Comp.	(R ₃) _m	R,	(R ₂),	Physical Property (Melting point)
a-695	SOCF.	-CS2CH3	F. C	
a-696	SO ₂ CF ₃	-CS₂CH₃	F, C	
a-697	C≡C1	-CS₂CH₃	F3.C	
a-698	c1 O S O	-CS2CH3	F ₃ C	
a-699		-CS₂CH₃	F ₃ C O	
a-700	C1 50 50 5	-CS₂CH₃	F,C 0	
a-701	OCH2CH=CCI2	-CS ₂ CH ₃	F,C 0	
a-702	OCH2C≡CI	-CS₂CH₃	F,C 0	
a-703	SCH2CH=CCl2	-CS2CH3	F,C	
a-704	SCH2C≡CI	-CS₂CH₃	F,C 0	
a-705	SOCH 2 CH = CC 1 2	-CS₂CH₃	F,C 0	
a-706	SO2CH2CH=CCI2	-CS2CH3	F,C	

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Table I-a (Continued)

Comp.	(R ₃) _m	R ı	(R ₂),	Physical Property (Melting point)
a-707	SOCH2C≡CI	- CS 2 CH 3	F 3 C	
a-708	SO2CH2C≡CI	-CS₂CH₃	F ₃ C	
a-709	CI CH 2 O	-CS₂CH₃	F, C	
a-710	CI CH 2 S	-CS₂CH₃	. F. C	
a-711	c1 O co	-CS₂CH₃	F ₃ C	
a-712	O CH 5	-CS ₂ CH ₃	F3C	
a-713	B r -⟨○ ⟩-	-CS₂CH₃	F ₃ C	88.0℃

Table I-b

$$(R_3)$$
, $C = C$
 (R_2) , $C = C$
 (R_2) , $C = C$
 (R_3)

Comp.	(R ₃) _a	R 1	(R ₂),	Physical property (Melting point)
b-1	\sqrt{s}	-CSOCH ₃	0	·
b-2	\sqrt{s}	-CSOCH₂CH₃	cı D	
b-3	\sqrt{s}	-cso—(O)	O CI	
b-4	\sqrt{s}	-CSCH ₃	F ₃ C	
b-5	\sqrt{s}	-CSCH ₂ CH ₃	F ₃ C	
b-6	\(\sigma_s\)\	-CSCH2CH=CH2.	F ₃ C	
b-7	\sqrt{s}	-CSCH₂C≘CI	F 3 C	
b-8	\sqrt{s}	-CSOCH₃	F ₃ C	
b-9	\sqrt{s}	-CSOCH2CH3	F ₃ C	
b-10	\sqrt{s}	-CSOCH₂CH=CH₂	F ₃ C	

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Table I-b (Continued)

Comp.	(R ₃) _n	R,	(R ₂),	Physical Property (Melting point)
b-11	\sqrt{s}	-CSOCH₂C≡CI	F,C	
b-12	(s)	-CS2CH3	F, C	пь ^{зв. 2} 1.5888
b-13	\sqrt{s}	-CS2CH2CH3	F, C	106. 2℃
b-14	\sqrt{s}	-CS2CH2CH=CH2	F, C	
b-15	\sqrt{s}	-CS2CH2C≡CI	F, C	·
b-16	\sqrt{s}	-cs-	F,C 0	
b-17	\sqrt{s}	-cso-	F,C (
b-18	\sqrt{s}	-CS ₂	F,C	
b-19	\sqrt{s}	-cs—(O)	F ₃ C	
b-20	\sqrt{s}	-cs- \(\) -c1	F3C 10	
b-21	\sqrt{s}	-cso—(O)	F,C O	Oily
b~22	\sqrt{s}	-cs ₂ ()	F, C	

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Table I-b (Continued)

Comp.	(R ₃) _n	R i	(R ₂),	Physical Property (Melting point)
b-23	\sqrt{s}	-CSCH ₂ -O	F,C	
b-24	C _S	-CSOCH ₂ -	F, C	
b-25	\sqrt{s}	-CS2CH2-	F, C	
b-26	\sqrt{s}	-cs ₂ -	Fac	
b-27	\sqrt{s}	-cs ₂ -	F, C	
b-28	\sqrt{s}	-cs ₂ -0	F, C O	
b-29	\sqrt{s}	-CS ₂ -S	F ₂ C O	
b-30	\sqrt{s}	-cs ₂	F,C O	
b-31	\sqrt{s}	-cs _z -	F,C	
b-32	\sqrt{s}	$-cs_2 \leftarrow \langle O \rangle$	F,C O	
b-33	\sqrt{s}	$-cs_z - \langle O \rangle$	F,C O	
b-34	\sqrt{s}	-cs ₂ -(O)	F, C	

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Table I-b (Continued)

Comp.	(R ₃) _a	R ₁	(R ₂),	Physical Property (Melting point)
b-35	\sqrt{s}	$-cs_2 \leftarrow \bigvee_{N} N$	F ₃ C	
b-36	\sqrt{s}	-COSCH ₃	F, C	
b-37	\sqrt{s}	-COSCH₂CH₃	F, C	
b-38	\(\sigma_s\)	-COSCH ₂ CH=CH ₂	F, C	
b-39	\sqrt{s}	-COSCH₂C≡CI	F ₃ C	
b-40	$ \zeta_{s} $	-cos-	F ₃ C	
b-41	c1\sqrt_s\	-CSOCH ₃	F,C 0	
b-42	Br S	-CSOCH₂CH₃ .	F ₃ C	
b-43	H ₃ C S	-CS2CH3	F,C O	
b-44	F ₂ HC S	-CS2CH2CH3	F ₃ C O	
b-45	H3CO\\S\	-CS2CH2CH=CH2	F ₃ C	
b-46	02N S	-cso- ()	F,C	

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Table I-b (Continued)

Comp.	(R ₃),	R ı	(R ₂) ₁	Physical Property (Melting point)
b-47	cı	-COSCH ₃	F3C	
b-48	Br	-COSCH₂CH₃	F ₃ C	
b-49	\bigcirc \bigcirc	-COSCH2CH=CH2	F ₃ C	
b-50		-COSCH2C≡CI	F ₃ C	
b-51	H ₃ C-OS	-cos-	F. C O	

Table I-c

Comp.	(R ₃) ₄ —(N)	Rı	(R ₂) ₁	Physical property (Melting point)
c-1		-coch3	cı D	120∼ 123℃
c-2		-COCH3	F, C 10	
c-3		-COCH ₂ CH ₃	F, C	
c-4		-CO(CH ₂) ₂ CH ₃	F, C	
c-5		-COCH(CH ₃) ₂	F ₃ C	
c-6		-CDC(CH ₃) ₃	c ₁	93∼ 95℃
c-7		-COC(CH ₃) ₃	F3C 🔘	-
c-8		-CON(CH ₃) ₂	cı 🎾	Oily
c-9		-SO₂CH₃	F ₃ C	
c-10		-SO2CH2CH3	F ₃ C	

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Table I-c (Continued)

Comp.	(R ₃), (N)	R ı	(R ₂),	Physical Property (Melting point)
c-11		-SO ₂ (CH ₂) ₂ CH ₃	F, C 10	
c-12	CI Q	-COCH3	F ₃ C	
c-13	CI	-COCH ₃	cı	101~ 102℃
c-14	CI (N)	-COCH3	F ₃ C	·
c-15	CI N	-COCH2CH3	F3C 10	
c-16	CI N	-CO(CH ₂) ₂ CH ₃	F, C 🛈	
c-17	CI	-COCH(CH ₃) ₂	F, C 💢	
c-18	CI N	-COC(CH ₃) ₃ .	cı 🎾	139∼ 140℃
c-19	CI N	-COC(CH ₃) ₃	F ₃ C O	
c-20	CI N	-SO ₂ CH ₃	F,C O	
c-21	CI N	-SO ₂ CH ₂ CH ₃	F,C O	
c-22	CI N	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C	

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Table I-c (Continued)

Comp.	(R,), (N)	R ı	(R ₂),	Physical Property (Melting point)
c-23	CI Q	-SO ₂ CH ₃	F,C O	
c-24	CI N	-COCH ₃	F, C 10	
c-25	CI N	-COCH₂CH₃	F, C 10	
c-26	CI N	-CO(CH ₂) ₂ CH ₃	F ₃ C	
c-27	CI (N)	-COCH(CH ₃) ₂	F ₃ C	
c-28	CI	-COC(CH ₃) ₃	F ₂ C	
c-29	CI	-SO _z CH ₃	F ₃ C	
c-30	CI N	-SO ₂ CH ₂ CH ₃	F,C O	
c-31	CI N	-SO ₂ (CH ₂) ₂ CH ₃	F, C O	
c-32	CI O	-SO ₂ CH ₂ CH ₃	F,C O	
c-33	CI Q	-SO ₂ N(CH ₃) ₂	F.C 🔘	
c-34	F,C N	-COCH₃	F ₃ C O	72~ 73°C

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Table I-c (Continued)

Comp.	(R ₃), (N)	R ı	(R ₂),	Physical Property (Melting point)
c-35	F, C N	-COCH₂CH₃	F.C (C)	
c-36	F ₃ C N	-CO(CH ₂) ₂ CH ₃	F ₃ C	
c-37	F ₃ C N	-COCH(CH ₃) ₂	F, C 10	
c-38	F,C N	-COC(CH ₃) ₃	F, C	
c-39	F,C N	-SO _z CH ₃	F,C 10	
c-40	F ₃ C N	-SO ₂ CH ₂ CH ₃	F ₃ C	
c-41	F ₃ C N	-SO ₂ (CH ₂) ₂ CH ₃	F3C 10	
c-42	F,C N	-SO ₂ N(CH ₃) ₂	F ₃ C O	121∼ 123℃
c-43	F ₃ C Q	-SO ₂ N(CH ₃) ₂	F ₃ C	205∼ 207℃
c-44	F ₃ C Q	-COCH3	F ₃ C O	198~ 200℃
c-45	F ₃ C O	-COCH2CH3	F ₃ C	
c-46	F ₃ C	-CO(CH ₂) ₂ CH ₃	F ₃ C	

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Table I-c (Continued)

Comp.	(R ₃), (N)	R ₁	(R ₂),	Physical Property (Melting point)
c-47	F,C	-COCH(CH ₃) ₂	F ₃ C	
c-48	F ₃ C O	-COC(CH ₃) ₃	F,C	
c-49	F ₃ C	-SO ₂ CH ₃	F ₃ C	
c-50	F ₃ C O	-SO2CH2CH3	F ₃ C	
c-51	F ₃ C O	-SO ₂ (CH ₂) ₂ CH ₃	F ₃ C	
c-52		-COCH3	c ₁	
c-53		-COCH ₃	F3C 💢	
c-54		-COCH₂CH₃	F,C O	
c-55		-CO(CH ₂) ₂ CH ₃	F ₃ C O	
c-56		-COCH(CH₃)₂	F.C	
c-57		-COC(CH ₃) ₃	F,C 10	
c-58	00	-SO ₂ CH ₃	F ₃ C	

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Table I-c (Continued)

Comp.	(R ₃), (N)	R ı	(R ₂),	Physical Property (Melting point)
c-59		-SO ₂ CH ₂ CH ₃	F, C 10	
c-60		-SO ₂ (CH ₂) ₂ CH ₃	F, C	
c-61	(NCc)	$-\cos\frac{F}{F}$	F	Z-isomer 116~ 120℃
c-62	CI	-c0	c1	Oily .
c-63	QT _{C1}	$-co\frac{F}{F}$	F	E-isomer 171∼ 176°C
c-64	CI N	$-co\frac{F}{F}$	F	138~ 142℃
c-65	CI-O-P	-SO ₂ N(CH ₂ CH ₃) ₂	F,C O	

Table I-d

$$(R_{2})_{m} \longrightarrow CH_{2}-C \longrightarrow C \longrightarrow C \longrightarrow (Id)$$

Comp.	(R ₃) _m CH ₂ -	R ı	(R ₂),	Physical property (Melting point)
d-1	(CH₂ -	-COCH ₃	F ₃ C	
d-2	(CH₂ -	-CO2CH3	F.3C	
d-3	(CH₂ -	-CS₂CH₃	F,C	E-isomer n _D ^{39, 2} 1.5352
d-4	(CH₂ -	-CS₂CH₃	F.C.	Z-isomer n _D ^{39, 2} 1.5651
d-5	○ CH₂ -	-CS2CH2CH3	F3C	
d-6	○ CH₂ -	-SO ₂ N(CH ₃) ₂	F ₃ C	
d-7	(C) − CH 2 −	-SO ₂ N(CH ₂ CH ₃) ₂	F ₃ C	-
d-8	C1	-COCH₃	F ₃ C	
d-9	C1-O-CH2-	-COzCH3	F3C 0	
d-10	C1-O-CH2-	-CS₂CH₃	F ₃ C	

Table I-d (Continued)

Comp.	(R ₃) _m — CH ₂ —	R i	(R ₂),	Physical Property (Melting point)
d-11	C1 — CH2-	-CS2CH2CH3	F,C	
d-12	C1 — CH2-	-SO _z N(CH ₃) ₂	F, C	·
d-13	C1 - CH2-	-SO ₂ N(CH ₂ CH ₃) ₂	F, C	
d-14	Br - CH ₂ -	-COCH3	F,C	
d-15	Br - ← CH₂-	-CO2CH3	F, C	
d-16	Br⟨○} CH₂-	-CS₂CH₃	Fac	
d-17	Br⟨○} CH₂	-CS ₂ CH ₂ CH ₃	F,C 0	
d-18	Br - ⟨○ > CH₂-	-SO ₂ N(CH ₃) ₂ .	F,C 0	
d-19	Br⟨○} CH₂-	-SO ₂ N(CH ₂ CH ₃) ₂	F,C 0	·
d-20	F	-COCH3	F ₃ C O	
d-21	F	-CO2CH3	F ₃ C	
d-22	F — CH2-	-CS2CH3	F3C 100	

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Table I-d (Continued)

Comp.	(R ₃) m CH ₂ -	R i	(R ₂),	Physical Property (Melting point)
d-23	F	-CS2CH2CH3	F, C	
d-24	F	-SO _z N(CH ₃) ₂	F, C	
d-25	F-O- CH2-	-SO ₂ N(CH ₂ CH ₃) ₂	F, C	
d-26	C1-CH2-	-COCH ₃	F ₃ C	
d-27	C1 - CH2-	-CO2CH3	F ₃ C	
d-28	C1	-CS₂CH₃	F ₃ C	
d-29	C1 - CH2-	-CS2CH2CH3	F,C O	
d-30	C1 - CH2-	-SO ₂ N(CH ₃) ₂	F, C O	
d-31	C1 - CH2 -	-SO ₂ N(CH ₂ CH ₃) ₂	F ₃ C	
d-32	C(CH ₃) ₃ CH ₂ -	-COCH ₃	F,C	
d-33	C(CH ₃) ₃ CH ₂ -	-CO2CH3	F ₃ C	
d-34	C(CH ₃) ₃ CH ₂ -	-CS₂CH₃	F ₃ C	

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Table I-d (Continued)

Comp.	(R ₃) _m CH _z -	R _I	(R ₂),	Physical Property (Melting point)
d-35	C(CH ₃) ₃ CH ₂ -	-CS2CH2CH3	F. C	
d-36	C(CH ₃) ₃ CH ₂ -	-SO ₂ N(CH ₃) ₂	F, C	
d-37	C(CH ₃) ₃ CH ₂ -	-SO ₂ N(CH ₂ CH ₃) ₂	F. C O	

Table 2

$$Q - C \longrightarrow C \longrightarrow C \longrightarrow (11)$$

$$C N \longrightarrow (R_2)_1$$

		1	
Inter- mediat No.	€ Q	(R ₂),	Physical property (Melting point)
11-1	<u></u>	F, C 0	154∼ 156℃
11-2	c1 ———	F ₃ C	146∼ 148℃
11-3	Br ─ ◯ ─	F, C O	168∼ 173℃
11-4	c1-O-c1	F, C	182~ 183℃
11-5	F-O-F	F ₃ C	
11-6	F	F, C	120~ 130℃
11-7	F F F	F, C	
11-8	F 3 C	F,C	164~ 167℃
11-9	F3C-O-C1	F ₃ C	
11-10	F ₃ C — F	F, C	

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Table 2 (Continued)

Inter- mediate	Q	(R ₂),	Physical property (Melting point)
11-11	0 ₂ N ————	F ₃ C	145~ 150℃
11-12	CI-ONO2	F, C	175~ 177°C
11-13	02N-O-C1	F ₃ C O	
11-14	H ₃ CS C1	F, C O	
11-15	H ₃ CO ₂ S C1	F.3C	
11-16		F ₃ C	
11-17	⊘ _c1	F ₃ C	187~ 189℃
11-18	c1 <u></u>	F ₃ C O	118~ 121℃
11-19	F —⟨○}—	F, C	139~ 144℃
11-20	I———	F, C	170~ 180℃
11-21	CI — O	F ₃ C	188∼ 189℃
11-22	c1	F ₃ C O	176~ 180℃

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Table 2 (Continued)

Inter- mediat No.	e Q	(R ₂),	Physical property (Melting point)
11-23	H3C ———	F ₃ C O	87~
11-24	(H3C)2CH—————	F,C	
11-25	(H ₃ C) ₃ C — (O)—	F,C ()	156~ 158℃
11-26	H3CO-O-	F ₃ C 0	90∼ 92℃
11-27	NC ———	F ₃ C	
11-28	F, CO -	F,C O	
11-29	H₃COS — —	F, C	
11-30	©©-	F,C 0	
11-31		F,C O	
11-32	H3C 0 0	F, C O	
11-33	C1	F ₂ HC	
11-34	c1-\(\rightarrow\)	FH ₂ C	

Table 2 (Continued)

			·
Inter- mediat	Q Q	(R ₂),	Physical property (Melting point)
11-35	c1	F,C O	
11-36	c1-\(\rightarrow\)	OCH ₃	
11-37	c1- -	SCH,	
11-38	c1-©-	SO ₂ CH ₃	
11-39	c1	ÇF ₃	
11-40	c1 ———	F ₃ C P	
II-41	CI ————	F3C O F	
11-42	C1 ———	F,C CF,	
11-43	c1	CH ₃	
11-44	⊘ -0 -⊘ -	F ₃ C	
11-45	SCHF ₂	F, C	
11-46	OCHF ₂	F,C	

Table 2 (Continued)

Intermedia	te Q	(R ₂),	Physical property (Melting point)
11-47	CI — CH3	F ₃ C	
11-48	CH=CCI2	F ₃ C	
11-49	CH=CF ₂	F ₃ C	
11-50	SCF,	F ₃ C	
11-51	SOCF,	F ₃ C	
11-52	SO ₂ CF ₃	F ₃ C	
11-53	C≡CI	F ₃ C	
11-54	c1 O s	F ₃ C	
11-55	C1 S0 O	F ₃ C	
11-56	CI SO SO	F3C 10	
11-57	OCH2CH=CCI2	F ₃ C	
11-58	OCH2C≡CI	F3C	

Table 2 (Continued)

Inter- mediat No.	e Q	(R ₂),	Physical property (Melting point)
11-59	SCH ₂ CH=CCI ₂	F3C	
11-60	SCH2C≡C1	F ₃ C	
11-61	SOCH2CH=CC12	F. C	
11-62	SO2CH2CH=CC12	F,C	
11-63	SOCH 2 C≡ CI	F3C	
11-64	SO2CH2C≡CI	F,C	
11-65	CI CH 20	F, C	
11-66	CI CH 2 S	F ₃ C	
11-67	c1 O CO	F3C 0	
11-68	O CH 3	F,C O	
11-69	\sqrt{s}	F3C O	105∼ 108℃
11-70	c1 S	F ₃ C	

Table 2 (Continued)

Inter-	T		Physical
mediate	Q	(R ₂) ₁	property (Melting point)
11-71	Br Ls	F,C 0	
11-72	H ₃ C \square S	F ₃ C	
11-73	F ₂ HC \(\sum_S \)	F,C O	
11-74	H ₃ CO S	F ₃ C	
11-75	02N S	F ₃ C	
11-76	\bigcirc \sim \sim \sim	F, C O	
11-77	\bigcirc \checkmark	F,C (
11-78	H3C S	F, C O	
11-79	c1 O	F ₃ C O	
11-80	CI P	F ₃ C	
11-81	CI N	F ₃ C	
11-82	F ₃ C N	F ₃ C	115∼ 119℃

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Table 2 (Continued)

Inter- mediate No.	Q	(R ₂),	Physical property (Melting point)
11-83	F,C	F, C	206~ 213℃
11-84		F, C	
11-85	C1-(O-(N)	F ₃ C	
11-86	(CH2 -	F,C O	
11-87	C1 - CH2-	F, C O	·
11-88	Br - CH ₂ -	F,C	
11-89	F-O-CH2-	F,C O	
11-90	C1 - CH2-	F,C	
11-91	C(CH ₃) ₃ CH ₂ -	F,C O	

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Now, Test Examples will be described.

TEST EXAMPLE 1

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Miticidal test against adults of two-spotted spider mite (Tetranychus urticae)

A miticidal solution was prepared to bring the 5 concentration of a compound of the present invention to 800 ppm. Kidney bean (Phaseolus vulgaris) seedling with only one primary leaf left, was transplanted in a cup (diameter: 8 cm, height: 7 cm) and 30 adults of twospotted spider mite (Tetranychus urticae) were inoculated 10 thereto. The adult mites were immersed together with the kidney bean leaf in the above miticidal solution for about 10 seconds, then dried in air and left in a constant temperature chamber at 26°C with lightening. the second day after the treatment, dead adult mites were 15 counted, and the mortality was calculated by the following equation.

Mortality (%) = Number of dead adult mites

Number of treated adult mites

As a result, the mortality was at least 90% with each of Compound Nos. a-6 to 7, a-10 to 14, a-20 to 25, a-29, a-31, a-39, a-43, a-46 to 48, a-55, a-63, a-67, a-72, a-77 to 78, a-80, a-83, a-97, a-99, a-153, a-156, a-160 to 164, a-166 to 167, a-173, a-175 to 181, a-183 to 189, a-191, a-194 to 197, a-200 to 202, a-206 to 207, a-209, a-211 to 212, a-214 to 215, a-218 to 221, a-223 to

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224, a-227, a-230, a-240, a-244, a-245, a-250 to 251, a-254 to 258, a-260 to 263, a-267, a-274, a-286, a-288, a-290, a-298, a-300, a-303 to 308, a-310, a-316 to 319, a-322, a-323, a-325, a-328 to 329, a-331, a-335, a-342, a-359, a-360, a-362 to 363, a-365, a-367 to 368, a-371 to 373, a-375 to 376, a-381, a-382, a-384, a-386 to 388, a-390, a-392, a-394 to 395, a-398, a-403, a-413 to 414, a-417 to 418, a-425 to 426, a-428 to 431, a-434 to 435, a-443, a-445, a-451 to 452, a-459 to 463, a-465 to 467, a-469 to 472, a-474, a-475, a-573, a-574, a-637 to 641, a-664 to 667, a-686, c-34, c-43 and c-44 and with intermediate No. II-2.

TEST EXAMPLE 2

Ovicidal test against two-spotted spider mite

15 (Tetranychus urticae)

An ovicidal solution was prepared to bring the concentration of a compound of the present invention to 800 ppm. Kidney bean (Phaseolus vulgaris) seedling with only one primary leaf left, was transplanted in a cup (diameter: 8 cm, height: 7 cm), and adults of two-spotted spider mite (Tetranychus urticae) were inoculated thereto and permitted to lay eggs for 24 hours, whereupon the adult mites were removed. The eggs were dipped together with the kidney bean leaf in the above ovicidal solution for about 10 seconds, then dried in air and left in a constant temperature chamber at 26°C with lightening. On the 7th day after the treatment, hatching of the eggs was

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investigated, and the ovicidal ratio was obtained by the following equation.

Ovicidal ratio (%) = $\frac{\text{Number of dead eggs}}{\text{Number of treated eggs}} \times 100$

As a result, the ovicidal ratio was at least 90% with each of Compound Nos. a-10 to 14, a-20 to 25, a-29, a-31, a-38 to 39, a-43, a-46 to 48, a-55, a-63, a-67, a-70, a-72, a-77 to 78, a-80, a-83, a-97, a-99, a-150, a-156, a-160 to 164, a-166 to 168, a-173, a-175 to 181, a-10 183 to 189, a-191, a-194 to 197, a-200 to 202, a-204, a-206 to 207, a-209, a-211 to 212, a-214 to 215, a-218 to 221, a-223 to 224, a-227, a-230, a-233 to 234, a-240, a-244, a-245, a-250 to 251, a-254 to 258, a-260 to 262, a-267, a-274, a-282, a-286, a-288, a-298, a-300, a-303 to 15 308, a-310, a-316 to 319, a-322, a-323, a-325, a-328 to 329, a-331 to 333, a-335, a-337, a-342, a-348, a-359, a-360, a-362 to 363, a-365, a-367 to 368, a-371 to 372, a-375 to 376, a-381, a-382, a-384, a-386 to 388, a-390, a-394 to 395, a-399, a-403, a-407, a-413 to 414, a-417 to 20 418, a-425 to 426, a-428 to 431, a-434 to 435, a-443, a-445, a-451, a-452, a-456, a-459 to 463, a-465 to 467, a-470 to 472, a-474, a-475, a-573, a-574, a-637 to 641, a-662 to 667, a-686, c-34, c-43 and c-44.

25 TEST EXAMPLE 3

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Insecticidal test against small brown planthopper
(Laodelphax striatellus)

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Rice seedling was dipped for about 10 seconds in an insecticidal solution prepared to bring the concentration of a compound of the present invention to 800 ppm and then dried in air. Then, the seedling with its root wrapped with a wet absorbent cotton, was put into a test tube. Then, 10 larvae of small brown planthopper (Laodelphax striatellus) were released therein, and the test tube was covered with a gauze and left in a constant chamber at 26°C with lightening. On the 5th day after the release, dead larvae were counted, and the mortality was calculated by the following equation.

As a result, the mortality was at least 90% with each of Compound Nos. a-10 to 11, a-161, a-362, a-474, a-637, a-638 and c-34.

TEST EXAMPLE 4

Insecticidal test against green peach aphid (Myzus persicae)

An insecticidal solution was prepared to bring the concentration of a compound of the present invention to 800 pm. The petiole of each of eggplants with only one foliage leaf left (planted in a pot having a diameter of 8 cm and a height of 7 cm) was coated with a sticker, and about 2-3 apterous viviparous female of green peach aphid (Myzus persicae) were infested and incubated on the

foliage leaf of the eggplant. After two days from the infestation, the adult insects were removed, and the number of larvae was counted. Then, the foliage leaf of the eggplant infested with the larvae was dipped in the above insecticidal solution for about 10 seconds, then dried in air and left in a constant temperature chamber at 26°C with lightening. On the 5th day after the treatment, dead insects were counted, and the mortality was calculated by the following equation:

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The insects released from the leaf were counted as dead insects.

As a result, the mortality was at least 90% with each of Compound Nos. a-10 to 11, a-160 to 162, a-637 and a-638.

TEST EXAMPLE 5

Test on preventive effect against tomato late blight

20 Tomato (cultivar: Ponderosa) was cultivated in a polyethylene pot having a diameter of 7.5 cm. When the tomato reached a four-leaf stage, it was sprayed with 10 ml of a solution having a predetermined concentration of a compound of the present invention through a spray gun.

25 After the solution was dried, the tomato plant was sprayed and inoculated with a zoosporangia suspension of fungi of late blight (Phytophthora infestans) and kept in

a constant-temperature chamber at 20°C.

Third to fourth day after the inoculation, the area of lesions was examined, and the control index was determined according to the following criteria for evaluation.

	Control index	<pre>Degree of disease outbreak (visual observation)</pre>
	5	No lesions are recognizable at all.
10	4	The area, number or length of lesions is less than 10% of that in the non-treated plot.
	3	The area, number or length of lesions is less than 40% of that in the non-treated plot.
	2	The area, number or length of lesions is less than 70% of that in the non-treated plot.
15	1	The area, number or length of lesions is 70% or more of that in the non-treated plot.

As a result, Compound No. a-3 exhibited a control index of 5 at a concentration of 250 ppm.

20 TEST EXAMPLE 6

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Test on preventive effect against wheat powdery mildew

Wheat (cultivar: Norin No. 61) was cultivated in a polyethylene pot having a diameter of 7.5 cm. When the wheat reached a 1.5 leaf stage, it was sprayed with 10 ml of a solution having a predetermined concentration of a compound of the present invention through a spray gun. After the solution was dried, the wheat was dusted and

inoculated with conidia of fungi of powdery mildew (Erysiphe graminis) and kept in a constant-temperature chamber at 20°C.

Eighth day after the inoculation, the area of lesions or the spore-formation area was examined, and the control index was determined according to the following criteria for evaluation.

•	Control index	<pre>Degree of disease outbreak (visual observation)</pre>
10	5	No lesion or spore-formation is recognizable at all.
	4	The area or number of lesions or the spore-formation area is less than 10% of that in the non-treated plot.
	3	The area or number of lesions or the spore-formation area is less than 40% of that in the non-treated plot.
15	2	The area or number of lesions or the spore-formation area is less than 70% of that in the non-treated plot.
	1	The area or number of lesions or the spore-formation area is 70% or more of that in the non-treated plot.

As a result, Compounds Nos. a-7, a-30, a-63, a-67, a-77 to 78, a-123 and a-234 exhibited a control index of 5 at a concentration of 500 pm, and Compounds Nos. a-3, a-38 to 39 and a-46 exhibited a control index of 5 or 4 at a concentration of 250 ppm.

25 TEST EXAMPLE 7

Test on preventive effect against oat crown rust
Oat (cultivar: Zenshin) was cultivated in a

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polyethylene pot having a diameter of 7.5 cm. When the oat reached a 1.5 leaf stage, it was sprayed with 10 ml of a solution having a predetermined concentration of a compound of the present invention through a spray gun.

After the solution was dried, the oat was sprayed and inoculated with a spore suspension of fungi of crown rust (<u>Puccinia coronata</u>). Eighth day after the inoculation, the area of lesions or spore-formation area was examined and the control index was determined in the same manner as in Test Example 6.

As a result, compound Nos. a-78, a-123 and a-166 exhibited a control index of 5 at a concentration of 500 ppm, and Compound No. a-3 exhibited a control index of 5 at a concentration of 250 ppm.

15 TEST EXAMPLE 8

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Control test against green algae

Green algae preliminarily cultured for 7 days (① Selenastrum capricornutum or ② Chlorella vulgaris) were inoculated to a culture medium for algae containing a solution prepared to bring the concentration of a compound of the present invention to 100 ppm, and left to stand for 8 days in a constant temperature chamber at 20°C with lighting, whereupon growth degree of the green algae was investigated, and the control index was determined according to the following criteria for evaluation.

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	Control index	Growth degree (v	isual observation)
	A	No growth of green a	algae is observed
	В	Growth of green alga	e is slightly
5		observed.	
J	С	Growth of green algathe same degree as in plot.	
	As a result	., Compound Nos. a-3,	a-6 and a-70
	exhibited a con	trol index of A again	nst green algae ① at
10	a concentration	of 100 ppm. Further	, against green algae
	②, Compound No	s. a-3, a-6, a-26 and	a-39 exhibited a
	control index o	f A at a concentration	on of 100 ppm.
	Now, formul	ation Examples will b	pe described.
	FORMULATION EXA	MPLE 1	
15	(a) Compoun	d No. a-31	20 parts by weight
	(b) Clay		72 parts by weight
	(c) Sodium	lignin sulfonate	8 parts by weight
	The above c	omponents are uniform	aly mixed to obtain a
	wettable powder	•	
20	FORMULATION EXA	MPLE 2	
	(a) Compoun	d No. b-26	5 parts by weight
	(b) Talc		95 parts by weight
	The above c	omponents are uniform	aly mixed to obtain a
	dust.		
25	FORMULATION EXA	MPLE 3	
	(a) Compoun	d No. a-39	20 parts by weight
	(b) N,N'-di	methylacetamide	20 parts by weight

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(c) Polyoxyethylenealkylphenyl

ether

10 parts by weight

(d) Xylene

50 parts by weight

The above components are uniformly mixed and dissolved to obtain an emulsifiable concentrate.

FORMULATION EXAMPLE 4

(a) Clay

68 parts by weight

(b) Sodium lignin sulfonate

2 parts by weight

(c) Polyoxyethylenealkylaryl

10 sulfate

5 parts by weight

(d) Fine silica powder

25 parts by weight

A mixture of the above components is mixed with compound No. b-31 in a weight ratio of 4:1 to obtain a wettable powder.

15 FORMULATION EXAMPLE 5

(a) Compound No. b-35

50 parts by weight

(b) Oxylated polyalkylphenyl

phosphate-triethanolamine 2 parts by weight

(c) Silicone

0.2 part by weight

20 (d) Water

47.8 parts by weight

The above components are uniformly mixed and pulverized to obtain a base liquid, and

(e) Sodium polycarboxylate

5 parts by weight

(f) Anhydrous sodium sulfate 42.8 parts by weight

are added, and the mixture is uniformly mixed and dried to obtain water-dispersible granules.

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FORMULATION EXAMPLE 6

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(a) Compound No. b-48 5 parts by weight

(b) Polyoxyethyleneoctylphenyl

ether 1 part by weight

(c) Phosphoric acid ester of polyoxyethylene 0.1 part by weight

(d) Granular calcium carbonate 93.5 parts by weight

The above components (a) to (c) are preliminarily uniformly mixed and diluted with a proper amount of acetone, and then the mixture is sprayed onto the component (d), and acetone is removed to obtain granules. FORMULATION EXAMPLE 7

(a) Compound No. a-47 2.5 parts by weight

(b) N-methyl-2-pyrrolidone 2.5 parts by weight

(c) Soybean oil 95.0 parts by weight 15

The above components are uniformly mixed and dissolved to obtain an ultra low volume formulation.

FORMULATION EXAMPLE 8

(a) Compound No. a-55 5 parts by weight

(b) N, N'-dimethylacetamide 15 parts by weight

> (c) Polyoxyethylenealkylaryl 10 parts by weigh ether

(d) xylene 70 parts by weight

The above components are uniformly mixed to obtain an emulsifiable concentrate. 25

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CLAIMS

An acrylonitrile compound of the following formula
 or its salt:

$$Q - C = C$$

$$C N$$

$$(I)$$

wherein Q is

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$$(R_3)_m$$
 $(R_3)_n$ $(R_3)_n$ $(R_3)_m$ $($

Y is $=C(R_A)$ or =N-, R_1 is alkyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, $-C(=0)R_5$, $-C(=S)R_5$, $-S(0)_{\nu}R_5$ or $-CH_2R_9$, each 15 of R2 and R3 is halogen, alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, alkylthio which may be substituted, 20 alkylsulfinyl which may be substituted, alkylsulfonyl which may be substituted, alkenylthio which may be substituted, alkenylsulfinyl which may be substituted, alkenylsulfonyl which may be substituted, alkynylthio which may be substituted, alkynylsulfinyl which may be 25 substituted, alkynylsulfonyl which may be substituted, nitro, cyano, phenyl which may be substituted, phenoxy

which may be substituted, phenylthio which may be substituted, phenylsulfinyl which may be substituted, phenylsulfonyl which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, or benzoyl which may be substituted, R4 is hydrogen, halogen, alkyl or haloalkyl, R₅ is alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be 10 substituted, alkylthio which may be substituted, alkenylthio which may be substituted, alkynylthio which may be substituted, cycloalkyl, cycloalkyloxy, cycloalkylthio, $-N(R_7)R_8$, phenyl which may be substituted, phenoxy which may be substituted, phenylthio 15 which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, -J, -O-J or -S-J, each of R_7 and R_8 is hydrogen, alkyl or alkoxy, R_9 is cyano, phenyl which may be substituted, phenoxy which may 20 be substituted, phenylthio which may be substituted, phenylsulfinyl which may be substituted, phenylsulfonyl which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, benzoyl which may be 25 substituted, -J, -C(=0) R_{10} , -C(=S) R_{10} , -S(0) $_{w}R_{10}$ or trimethylsilyl, R₁₀ is alkyl or alkoxy, J is a 5- or

6-membered heterocyclic group containing from 1 to 4 hetero atoms of at least one type selected from the group consisting of O, S and N (the heterocyclic group may be substituted), 1 is from 1 to 4, m is from 0 to 5, n is from 0 to 3, q is from 0 to 4, w is from 0 to 2, when 1 is 2 or more, a plurality of R, may be the same or different, when each of m, n and q is 2 or more, a plurality of R₃ may be the same or different, provided that the following compounds are excluded (1) a compound wherein Q is Qb, Y is $=C(R_4)-$, and R_1 is alkyl, 10 haloalkyl, alkoxyalkyl, alkylthioalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, $-S(0)_{\mathbf{v}}R_5$ or $-CH_2R_9$, (2) a compound wherein Q is Qb, Y is $=C(R_4)-$, R_1 is -C(=0) R_5 , and R_5 is alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be 15 substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, cycloalkyl, cycloalkyloxy, -N(R7)R8, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, benzyl 20 which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, -J, -O-J or -S-J, (3) a compound wherein Q is Qb, Y is $=C(R_4)-$, R_1 is $-C(=S)R_5$, and R_5 is $-N(R_7)R_8$, (4) a compound wherein Q is Qb or Qc, Y is =N-, R_1 is alkyl or 25 $-C(=0)R_5$, and R_5 is alkyl, (5) 3-(4-chlorophenyl)-2phenyl-3-ethoxyacrylonitrile, (6) 2-(3,510

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dimethoxyphenyl)-3-(2-methoxy-4-methylphenyl)-3acetoxyacrylonitrile, and (7) 2-(3,5-dimethoxyphenyl)-3-(2,6-dimethoxy-4-methylphenyl)-3-acetoxyacrylonitrile.

- The acrylonitrile compound or its salt according to Claim 1, wherein the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the alkoxy which may be substituted, the alkenyloxy which may be substituted, the alkynyloxy which may be substituted, the alkylthio which may be substituted, the alkylsulfinyl which may be substituted, the alkylsulfonyl which may be substituted, the alkenylthio which may be substituted, the alkenylsulfinyl which may be substituted, the alkenylsulfonyl which may be substituted, the alkynylthio which may be substituted, the alkynylsulfinyl which may be substituted and the alkynylsulfonyl which may be substituted for each of R2 and R3, or the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the alkoxy which may be substituted, the alkenyloxy which may be substituted, the alkynyloxy which may be substituted, the alkylthio which may be substituted, the alkenylthio which may be substituted, and the alkynylthio which may be substituted for R₅, is halogen, alkoxy, haloalkoxy, alkoxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl,
- 25 haloalkylthio, haloalkylsulfinyl, haloalkylsulfonyl, amino, monoalkylamino, dialkylamino, nitro or cyano, the

substituent for the phenyl which may be substituted, the phenoxy which may be substituted, the phenylthio which may be substituted, the phenylsulfinyl which may be substituted, the phenylsulfonyl which may be substituted, the benzyl which may be substituted, the benzyloxy which may be substituted, the benzylthio which may be substituted, and the benzoyl which may be substituted for each of R₂ and R₃, the substituent for the phenyl which may be substituted, the phenoxy which may be substituted, the phenylthio which may be substituted, the benzyl which 10 may be substituted, the benzyloxy which may be substituted and the benzylthio which may be substituted for R_5 , the substituent for the phenyl which may be substituted, the phenoxy which may be substituted, the phenylthio which may be substituted, the phenylsulfinyl 15 which may be substituted, the phenylsulfonyl which may be substituted, the benzyl which may be substituted, the benzyloxy which may be substituted, the benzylthio which may be substituted, and the benzoyl which may be substituted for R_{q} , or the substituent for the 20 heterocyclic group for J, is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano, -S(0),R6, amino, monoalkylamino or dialkylamino, R6 is alkyl or haloalkyl, and w is from 0 to 2.

25 3. The acrylonitrile compound or its salt according to Claim 1, wherein the heterocyclic group for J is furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, tetrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, l-pyrrolidinyl, l-piperidinyl or 4-morpholino.

- 4. The acrylonitrile compound or its salt according to 5 Claim 1, wherein Q is Qa, Qb or Qc, and each of R₂ and R₃ is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, cyano, phenyl which may be substituted by M₁, or phenoxy which may be substituted by M₁, R₅ is alkyl, haloalkyl,
- alkoxyalkyl, alkylthioalkyl, aminoalkyl,
 monoalkylaminoalkyl, dialkylaminoalkyl, alkenyl,
 haloalkenyl, alkynyl, haloalkynyl, alkoxy, haloalkoxy,
 alkylthio, haloalkylthio, alkoxycarbonylalkylthio,
 alkenylthio, haloalkenylthio, alkynylthio,
- haloalkynylthio, cycloalkyl, cycloalkylthio, $-N(R_7)R_8$, phenyl which may be substituted by M_1 , phenoxy which may be substituted by M_1 , phenylthio which may be substituted by M_1 , benzyl which may be substituted by M_1 , benzylthio which may be substituted by M_1 , pyridyl which may be
- substituted by M_1 , 1-pyrrolidinyl, 1-piperidinyl, 4-morpholino, pyridyloxy which may be substituted by M_1 , or pyridylthio which may be substituted by M_1 , R_9 is cyano, phenyl which may be substituted by M_1 , benzyloxy which may be substituted by M_1 , benzyloxy which
- substituted by M_1 , pyridyl which may be substituted by M_1 , $-C(=0)R_{10}$, $-S(0)_wR_{10}$ or trimethylsilyl, M_1 is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano,

- $-S(0)_{\mathbf{u}}R_6$, amino, monoalkylamino or dialkylamino, and R_6 is alkyl or haloalkyl.
- 5. The acrylonitrile compound or its salt according to Claim 1, wherein Q is Qa, Qb or Qc, each of R_2 and R_3 is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, cyano, phenyl which may be substituted by M_2 , or phenoxy which may be substituted by M_2 , R_5 is alkyl, haloalkyl, alkoxyalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, alkoxy,
- haloalkoxy, alkylthio, haloalkylthio, alkoxycarbonylalkylthio, alkenylthio, haloalkenylthio, alkynylthio, haloalkynylthio, cycloalkyl, cycloalkylthio, $-N(R_7)R_8$, phenyl which may be substituted by M_2 , phenoxy which may be substituted by M_2 , phenylthio which may be
- substituted by M_2 , benzyl which may be substituted by M_2 , benzylthio which may be substituted by M_2 , pyridyl which may be substituted by M_2 , l-pyrrolidinyl, l-piperidinyl or 4-morpholino, each of R_7 and R_8 is hydrogen or alkyl, R_9 is cyano, phenyl which may be substituted by M_2 ,
- benzyloxy which may be substituted by M_2 , benzoyl which may be substituted by M_2 , pyridyl which may be substituted by M_2 , $-C(=O)R_{10}$, $-S(O)_wR_{10}$ or trimethylsilyl, M_2 is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, nitro, cyano or $-S(O)_wR_6$, and R_6 is alkyl.
- 25 6. The acrylonitrile compound or its salt according to Claim 5, wherein Q is Qa or Qb.
 - 7. The acrylonitrile compound or its salt according to

Claim 6, wherein Q is Qa.

- 8. The acrylonitrile compound or its salt according to Claim 1, wherein Q is Qa or Qb, Y is $=C(R_4)-$, and R_4 is hydrogen.
- 9. The acrylonitrile compound or its salt according to Claim 8, wherein Q is Qa.
 - 10. The acrylonitrile compound or its salt according to Claim 8 or 9, wherein R_2 is halogen, alkyl or haloalkyl, and 1 is from 1 to 3.
- 10 ll. The acrylonitrile compound or its salt according to Claim 8 or 9, wherein R_1 is alkoxyalkyl, $-C(=0)R_5$, $-C(=S)R_5$, $-S(O)_wR_5$ or $-CH_2R_9$, R_2 is halogen, alkyl or haloalkyl, R_3 is halogen or alkyl, R_5 is alkyl, haloalkyl, alkoxyalkyl, alkoxy, haloalkoxy, alkylthio,
- haloalkylthio, alkoxycarbonylalkylthio, alkenylthio, $-N(R_7)R_8$, phenyl which may be substituted by M_3 , phenoxy which may be substituted by M_3 , phenylthio which may be substituted by M_3 , benzyl which may be substituted by M_3 , pyridyl which may be substituted by M_3 , l-pyrrolidinyl or
- 4-morpholino, each of R_7 and R_8 is hydrogen or alkyl, R_9 is phenyl, M_3 is halogen, alkyl or alkoxy, 1 is from 1 to 3, m is from 0 to 3, n is from 0 to 1, and w is from 1 to 2.
- 12. The acrylonitrile compound or its salt according to Claim 1, wherein the formula (I) is the formula (I-1):

2.

$$Q - C \longrightarrow C$$

$$C N \longrightarrow (R_{2b}) \qquad (I-1)$$

- wherein Q is Qa or Qb, R_{2a} is haloalkyl, R_{2b} is halogen, alkyl or haloalkyl, d is from 0 to 2, m is from 0 to 3, and n is from 0 to 1.
 - 13. The acrylonitrile compound or its salt according to Claim 12, wherein Q is Qa.
- 10 14. The acrylonitrile compound or its salt according to Claim 12, wherein d is 0.
 - 15. The acrylonitrile compound or its salt according to Claim 13, wherein d is 0.
- 16. The acrylonitrile compound or its salt according to Claim 12, 13, 14 or 15, wherein R_1 is alkoxyalkyl, $-C(=O)R_5, -C(=S)R_5, -S(O)_{\psi}R_5 \text{ or } -CH_2R_9, R_2 \text{ is halogen,}$ alkyl or haloalkyl, R_3 is halogen or alkyl, R_5 is alkyl, haloalkyl, alkoxyalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkoxycarbonylalkylthio, alkenylthio,
- $-N(R_7)R_8$, phenyl which may be substituted by M_3 , phenoxy which may be substituted by M_3 , phenylthio which may be substituted by M_3 , benzyl which may be substituted by M_3 , pyridyl which may be substituted by M_3 , 1-pyrrolidinyl or 4-morpholino, each of R_7 and R_8 is hydrogen or alkyl, R_9 is phenyl, M_3 is halogen, alkyl or alkoxy, 1 is from 1 to 3, m is from 0 to 3, n is from 0 to 1, and w is from 1 to

17. A process for producing an acrylonitrile compound of the following formula (I) or its salt:

$$Q - C = C \xrightarrow{OR_1} C \times OR_2$$

$$C \times OR_2$$

wherein Q is

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$$(R_3)_m \qquad (R_3)_n \qquad (R_3)_q \qquad (R_3)_m$$

$$N \qquad \text{or} \qquad -C H_2 \longrightarrow (R_3)_m$$

$$Qa \qquad Qb \qquad Qc \qquad Qd$$

Y is $=C(R_4)$ or =N-, R_1 is alkyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, $-C(=0)R_5$, $-C(=S)R_5$, $-S(0)_wR_5$ or $-CH_2R_9$, each of $\mathbf{R_2}$ and $\mathbf{R_3}$ is halogen, alkyl which may be substituted, 15 alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, alkylthio which may be substituted, alkylsulfinyl which may be substituted, alkylsulfonyl 20 which may be substituted, alkenylthio which may be substituted, alkenylsulfinyl which may be substituted, alkenylsulfonyl which may be substituted, alkynylthio which may be substituted, alkynylsulfinyl which may be substituted, alkynylsulfonyl which may be substituted, 25 nitro, cyano, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be

substituted, phenylsulfinyl which may be substituted, phenylsulfonyl which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, or benzoyl which may be substituted, R4 is hydrogen, halogen, alkyl or 5 haloalkyl, R5 is alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, alkylthio which may be substituted, 10 alkenylthio which may be substituted, alkynylthio which may be substituted, cycloalkyl, cycloalkyloxy, cycloalkylthio, -N(R7)R8, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, benzyl which may be 15 substituted, benzyloxy which may be substituted, benzylthio which may be substituted, -J, -O-J or -S-J, each of R_7 and R_8 is hydrogen, alkyl or alkoxy, R_9 is cyano, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, 20 phenylsulfinyl which may be substituted, phenylsulfonyl which may be substituted, benzyl which may be substituted, benzyloxy which may be substituted, benzylthio which may be substituted, benzoyl which may be substituted, -J, -C(=0) R_{10} , -C(=S) R_{10} , -S(O) $_w$ R_{10} or 25 trimethylsilyl, R_{10} is alkyl or alkoxy, J is a 5- or 6-membered heterocyclic group containing from 1 to 4

hetero atoms of at least one type selected from the group consisting of O, S and N (the heterocyclic group may be substituted), 1 is from 1 to 4, m is from 0 to 5, n is from 0 to 3, q is from 0 to 4, w is from 0 to 2, when 1 is 2 or more, a plurality of R, may be the same or different, when each of m, n and q is 2 or more, a plurality of R₃ may be the same or different, provided that the following compounds are excluded (1) a compound wherein Q is Qb, Y is $=C(R_4)-$, and R_1 is alkyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, alkenyl, 10 haloalkenyl, alkynyl, haloalkynyl, -S(O) R₅ or -CH₂R₉, (2) a compound wherein Q is Qb, Y is $=C(R_4)-$, R_1 is -C(=0) R_5 , and R_5 is alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy 15 which may be substituted, alkynyloxy which may be substituted, cycloalkyl, cycloalkyloxy, -N(R7)R8, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, benzyl which may be substituted, benzyloxy which may be 20 substituted, benzylthio which may be substituted, -J, -O-J or -S-J, (3) a compound wherein Q is Qb, Y is $=C(R_4)-$, R_1 is $-C(=S)R_5$, and R_5 is $-N(R_7)R_8$, (4) a compound wherein Q is Qb or Qc, Y is =N-, R_1 is alkyl or -C(=0) R_5 , and R_5 is alkyl, (5) 3-(4-chlorophenyl)-2-25 phenyl-3-ethoxyacrylonitrile, (6) 2-(3,5dimethoxyphenyl)-3-(2-methoxy-4-methylphenyl)-35

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acetoxyacrylonitrile, and (7) 2-(3,5-dimethoxyphenyl)-3-(2,6-dimethoxy-4-methylphenyl)-3-acetoxyacrylonitrile, which comprises reacting a compound of the formula (II):

$$Q - C = C$$

$$C N \qquad (II)$$

wherein Q, Y, R₂ and l are as defined above, with a compound of the formula (III):

$$10 R1-X (III)$$

wherein R_1 is as defined above, and X is halogen.

- 18. A pesticide containing the acrylonitrile compound or its salt as defined in Claim 1, as an active ingredient.
- 19. An insecticide, miticide or nematicide containing the acrylonitrile compound or its salt as defined in Claim 1, as an active ingredient.
 - 20. A fungicide containing the acrylonitrile compound or its salt as defined in Claim 1, as an active ingredient.
 - 21. A marine antifouling agent containing the
- 20 acrylonitrile compound or its salt as defined in Claim 1, as an active ingredient.
 - 22. A compound of the formula (II-1) or its salt:

$$Q - C \qquad C \qquad C \qquad (II-1)$$

$$C N \qquad R_{2} \qquad (II-1)$$

wherein Q is

 R_{2a} is haloalkyl, R_{2b} is halogen, alkyl or haloalkyl, R_3 is halogen, alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, alkoxy which may be substituted, alkenyloxy which may be substituted, alkynyloxy which may be substituted, alkylthio which may be substituted, alkylsulfinyl which 10 may be substituted, alkylsulfonyl which may be substituted, alkenylthio which may be substituted, alkenylsulfinyl which may be substituted, alkenylsulfonyl which may be substituted, alkynylthio which may be substituted, alkynylsulfinyl which may be substituted, 15 alkynylsulfonyl which may be substituted, nitro, cyano, phenyl which may be substituted, phenoxy which may be substituted, phenylthio which may be substituted, phenylsulfinyl which may be substituted, phenylsulfonyl which may be substituted, benzyl which may be 20 substituted, benzyloxy which may be substituted, benzylthio which may be substituted, or benzoyl which may be substituted, d is from 0 to 2, m is from 0 to 5, n is from 0 to 3, q is from 0 to 4, when d is 2, two R2b may be the same or different, when each of m, n and q is 2 or 25 more, a plurality of R₃ may be the same or different, when Q is Qc, (1) q is not 0, or (2) R_3 is not alkyl.

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- 23. The compound or its salt according to Claim 22, wherein Q is Qa or Qb.
- 24. The compound or its salt according to Claim 22, wherein Q is Qa.
- 5 25. The compound or its salt according to Claim 22, 23 or 24, wherein d is 0.
 - 26. A method for controlling a pest, which comprises applying the compound as claimed in Claim 1 or 22 as an active ingredient to the pest.

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